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=> d his

     (FILE 'HOME' ENTERED AT 11:58:17 ON 01 JUN 2010)

     FILE 'CAPLUS' ENTERED AT 11:58:25 ON 01 JUN 2010
L1             2 S WO 2004087731/PN
                 SELECT RN L1 1-

     FILE 'REGISTRY' ENTERED AT 11:59:17 ON 01 JUN 2010
L2            17 S E1-17
L3             6 S 5-6-6-6-6/SZ AND L2
L4            11 S L2 NOT L3
L5         17324 S 4432.3.25/RID
L6           902 S CARBOTHIO? AND L5
L7               STRUCTURE UPLOADED
L8            50 S L7
L9          4352 S L7 SSS FUL
L10              STRUCTURE UPLOADED
L11         1945 S L10  SUB=L9 FUL

     FILE 'CAPLUS' ENTERED AT 12:11:02 ON 01 JUN 2010
L12         2318 S L11

     FILE 'REGISTRY' ENTERED AT 12:13:55 ON 01 JUN 2010

     FILE 'CAPLUS' ENTERED AT 12:14:28 ON 01 JUN 2010
                 S C24 H30 F2 O5 S/MF

     FILE 'REGISTRY' ENTERED AT 12:14:37 ON 01 JUN 2010
L13          21 S C24 H30 F2 O5 S/MF

     FILE 'CAPLUS' ENTERED AT 12:14:38 ON 01 JUN 2010
L14          45 S L13
L15          35 S L3 AND L14

     FILE 'REGISTRY' ENTERED AT 12:14:59 ON 01 JUN 2010
L16          21 S C24 H30 F2 O5 S/MF
L17           1 S L16 AND L3

     FILE 'CAPLUS' ENTERED AT 12:15:24 ON 01 JUN 2010
L18          28 S L17
L19          25 S L18 NOT (2010/SO OR 2009/SO OR 2008/SO OR 2007/SO OR 2006/SO

=> d ibib abs hitstr total
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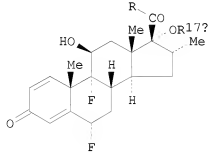
L19 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:1370146 CAPLUS
DOCUMENT NUMBER: 151:508769
TITLE: Process for synthesis of androstane-17β-carbothioic acid and related derivatives thereof
INVENTOR(S): Hsu, Nai-Hsuan; Pang, Chu-Yi; Wu, Chien-Jen; Huang, Chi-Jen; Hsu, Chia-Jung; Lee, Peimin
PATENT ASSIGNEE(S): Corum Inc., Taiwan
SOURCE: U.S. Pat. Appl. Publ., 6pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20090275767	A1	20091105	US 2008-112229	20080430

PRIORITY APPLN. INFO.: US 2008-112229 20080430
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 151:508769
GI



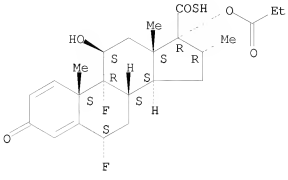
I

AB A process was disclosed for synthesis of androstane-17β-carbothioic acid derivs., such as I [R = SH, alkylthio, haloalkylthio, etc.; R17a = acyl], without addition of hydrogen sulfide. The process comprised a one-pot reaction of a corresponding androstane-17β-carboxylic acid, such as I [R = OH, R17a = H] with an carbothioic acid, such as R17aSH, using a coupling reagent. Thus, carbothioic acid derivative I [R = SH, R17a = COCH2Me] was prepared by reacting carboxylic acid I [R = OH, R17a = H] with propanethioic acid using N,N'-carbonyldiimidazole in THF at 5° for 18 h under nitrogen.

IT 80474-45-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for preparation of androstane-17β-carbothioic acid derivs.)

RN 80474-45-9 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

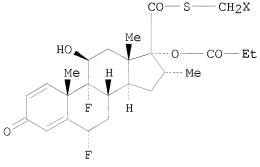
Absolute stereochemistry. Rotation (-).



L19 ANSWER 2 OF 25 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:237775 CAPLUS
DOCUMENT NUMBER: 148:355999
TITLE: Method for preparing fluticasone propionate
INVENTOR(S): Shen, Yuliang; Liu, Xirong; Xie, Laibin; He, Huixian
PATENT ASSIGNEE(S): Hunan Steroid Chemicals Co., Ltd., Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 11pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 101125875	A	20080220	CN 2007-10044880	20070815
CN 100549022	C	20091014		
PRIORITY APPLN. INFO.:			CN 2007-10044880	20070815

OTHER SOURCE(S): CASREACT 148:355999
GI



I

AB Fluticasone propionate is prepared by (1) allowing to react compound 1(6α,9α-difluoro-11β-hydroxy-16α-methyl-17α-propionyloxy-3-one-androst-1,4-diene-17β-thiocarboxylate) with XCH2Br (X = Cl, Br, iodo) at a molar ration of 1-5:1 in solvent in the presence of alkali at 0-150°C for 0.2-5 h to obtain halide I; (2) then reacting with ammonium tetraalkyl fluoride or M+F- in the presence of ion solution and solvent at 20-100°C for 0.1-24 h to obtain the product with formula 3, wherein M+F- is fluoride of alkaline metal ion, alkaline earth metal ion or transition metal ion; alkali is hydroxide, phosphate or carbonate of alkaline metal or alkaline earth metal, or organic base. Ion solution is [Bmim][X], wherein [Bmim] is 1-butyl-3-methylimidazole; [X] is BF4, PF6, SbF6, OTf or NTF2. Solvent used in step (1) is DMSO, N,N-DMF, acetone, methanol, ethanol, acetonitrile, dichloromethane, petroleum ether, toluene and/or xylene; solvent used in step (2) is DMSO, N,N-DMF, acetone, ethanol, acetonitrile, 1,4-dioxane, tert-butanol, dichloromethane, petroleum ether, toluene and/or xylene. Tetraalkylammonium fluoride is C1-C20 tetraammonium fluoride with or without crystal water. The molar ratio of tetraalkylammonium fluoride to I, M+F- to I and ion solution to I is 1-5:1, 1-6:1 and 0.1-6:1 resp. The method has advantages of simple operation process, high yield, low cost, convenience for post treatment

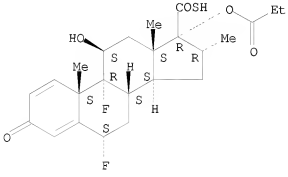
and promising industrial prospect.

IT 80474-45-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of fluticasone propionate)

RN 80474-45-9 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid,
6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-,
(6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

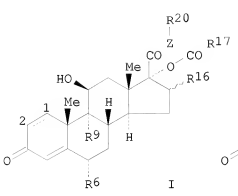


L19 ANSWER 3 OF 25 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:998622 CAPLUS
DOCUMENT NUMBER: 147:344254
TITLE: Preparation of novel 11β-hydroxyandrost-4-en-3-ones for therapeutic use as anti-inflammatory agents
INVENTOR(S): Patel, Jiten Ranchhodbhai; Patel, Gopalkumar Chimanlal; Sheth, Gaurav Sanjivkumar; Shah, Samir Rameshchandra; Mandhane, Sanjay Nandlal; Chitturi, Trinadha Rao; Thennati, Rajamannar
PATENT ASSIGNEE(S): Sun Pharmaceutical Industries Limited, India
SOURCE: PCT Int. Appl., 128pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007099548	A2	20070907	WO 2007-IN39	20070129
WO 2007099548	A3	20081009		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
AU 2007220114	A1	20070907	AU 2007-220114	20070129
CA 2637548	A1	20070907	CA 2007-2637548	20070129
EP 2004667	A2	20081224	EP 2007-736511	20070129
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
JP 2009524649	T	20090702	JP 2008-551960	20070129
MX 2008009173	A	20090115	MX 2008-9173	20080717
ZA 2008006366	A	20090826	ZA 2008-6366	20080722
US 20090054388	A1	20090226	US 2008-180257	20080725
CN 101384610	A	20090311	CN 2007-80003660	20080728
KR 2008091813	A	20081014	KR 2008-720127	20080818

PRIORITY APPLN. INFO.: IN 2006-MU131 A 20060127
WO 2007-IN39 W 20070129

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 147:344254
GI



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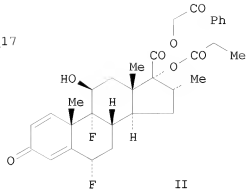


II

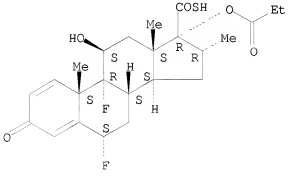
AB 11β-Hydroxyandrost-4-en-3-one derivs., such as I [1,2-bond = single or double; R6 = H, F; R9 = Cl, F; R16 = H, α-, β-Me; R17 = alkyl, alkoxy, aryl, heteroaryl; R20 = alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heterocyclyl, etc.; Z = O, S, S-O], were prepared as glucocorticoid receptor ligands for use in anti-inflammatory pharmaceutical compns. Thus, 11β-hydroxyandrost-4-en-3-one derivative II was prepared via an esterification reaction of acid I (R6 = R9 = F, R16 = α-Me, R17 = CH2Me, R20 = H, Z = O) with PhCOCH2Br. The prepared 11β-hydroxyandrost-4-en-3-ones were screened for glucocorticoid receptor binding activity using radioligand binding assays and for anti-inflammatory activity using a cotton pellet granuloma screen in rats.

IT 80474-45-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 11β-hydroxyandrost-4-en-3-ones for therapeutic use as anti-inflammatory agents)

RN 80474-45-9 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L19 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:789323 CAPLUS
DOCUMENT NUMBER: 147:257929
TITLE: Method for synthesis of Fluticasone propionate
INVENTOR(S): Qin, Guoru
PATENT ASSIGNEE(S): Gaoyou Zhaokang Pharmaceutical Co., Ltd., Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 18pp. CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 100999541	A	20070718	CN 2006-10161627	20061219
CN 100497367	C	20090610		
PRIORITY APPLN. INFO.:			CN 2006-10161627	20061219

OTHER SOURCE(S): CASREACT 147:257929

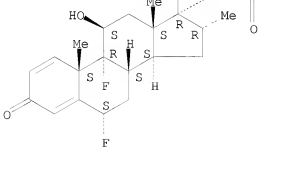
AB The title compound was synthesized from Flumethasone oxidation with sodium periodate or periodic acid to obtain 6α,9α-difluoro-11β,17α-dihydroxyl-16α-methyl-3-oxy-androstane-1,4-diene-17β-carboxylic acid; thiolation with N,N-dimethylthioaminoformyl chloride in the presence of diisopropylethylamine; and potassium iodide to give 6α,9α-difluoro-11β-hydroxyl-16α-methyl-3-oxy-androstane-1,4-diene-17β-thiocarboxylic acid; esterification with propanoyl chloride; and sulfur alkylation with bromofluoromethane in the presence of potassium carbonate as catalyst. This invention has the advantages of a short reaction process, high yield, low cost, and high product purity.

IT 80474-45-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of Fluticasone propionate from Flumethasone)

RN 80474-45-9 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L19 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:113502 CAPLUS
DOCUMENT NUMBER: 146:184636
TITLE: Method for preparation of Fluticasone propionate
INVENTOR(S): Chu, Dingjun; Zhang, Defa
PATENT ASSIGNEE(S): Shanghai Aurisco International Trading Co., Ltd., Peop. Rep. China
SOURCE: PCT Int. Appl., 14pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007012228	A1	20070201	WO 2005-CN1339	20050829
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
CN 1903871	A	20070131	CN 2005-10028147	20050726
CN 100560598	C	20091118		
EP 1911741	A1	20080416	EP 2005-781841	20050829
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
US 20080125407	A1	20080529	US 2008-20519	20080126
IN 2008DN01479	A	20080404	IN 2008-DN1479	20080220

PRIORITY APPLN. INFO.: CN 2005-10028147 A 20050726
WO 2005-CN1339 W 20050829

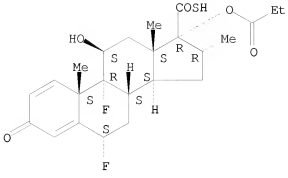
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 146:184636

AB A method for preparing S-fluoromethyl-6α,9α-difluoro-11β-hydroxy-16α-methyl-17α-propionyloxy-3-oxo-androsta-1,4-diene-17β-carbothioate (Fluticasone propionate) from Flumethason was disclosed. The claimed method can be conducted simply and conveniently in mild conditions with high product purity, and be suitable for com. process on a large scale.

IT 80474-45-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of Fluticasone propionate from Flumethason)

RN 80474-45-9 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

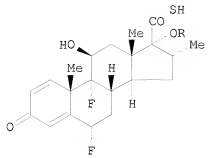


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 6 OF 25 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2006:381179 CAPLUS
DOCUMENT NUMBER: 144:412741
TITLE: Process for preparation of fluticasone analogs via esterification of a carbothioic acid
INVENTOR(S): Sobral, Luis; Martin, Dionisio; Heggie, William; Leitaeo, Emilia
PATENT ASSIGNEE(S): Hovione Inter Ltd., Switz.; Turner, Craig Robert
SOURCE: PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006043015	A1	20060427	WO 2004-GB5052	20041202
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2004324237	A1	20060427	AU 2004-324237	20041202
CA 2584052	A1	20060427	CA 2004-2584052	20041202
EP 1802647	A1	20070704	EP 2004-822330	20041202
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 101065394	A	20071031	CN 2004-80044435	20041202
JP 2008517044	T	20080522	JP 2007-537362	20041202
NZ 554865	A	20090331	NZ 2004-554865	20041202
RU 2351605	C2	20090410	RU 2007-118654	20041202
ZA 2007003257	A	20090624	ZA 2007-3257	20041202
NO 2007001996	A	20070706	NO 2007-1996	20070419
IN 2007DN03197	A	20070831	IN 2007-DN3197	20070427
US 20070287846	A1	20071213	US 2007-577462	20070731

PRIORITY APPLN. INFO.: PT 2004-103202 A 20041019
WO 2004-GB5052 W 20041202
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 144:412741
GI



I

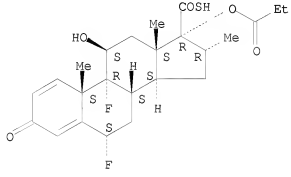
AB A process for preparing esters, such as I (R = CO(CH2)n, COCHMe2, n = 1, 2), was disclosed and comprised esterification of the C-17 hydroxyl group of 6α,9α-difluoro-11β,17α-dihydroxy-16α-methyl-3-oxoandrosta-1,4-diene-17β-carbothioic acid I (R = H) with a slight excess of a corresponding acyl chloride, RCOCl, in an inert solvent in the presence of a tertiary amine.

IT 80474-45-9P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(process for preparation of pharmaceutically useful fluticasone analogs via esterification of a corresponding carbothioic acid)

RN 80474-45-9 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 7 OF 25 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2006:74597 CAPLUS
DOCUMENT NUMBER: 144:156707
TITLE: Novel crystalline forms of 6α,9α-difluoro-11β-hydroxy-16α-methyl-3-oxo-17α-propionyloxy-androsta-1,4-diene 17β-carboxylic acid and processes for preparation thereof
INVENTOR(S): Adin, Itai; Iustain, Carmen; Futerman, Yuri
PATENT ASSIGNEE(S): Chemagis Ltd., Israel
SOURCE: U.S. Pat. Appl. Publ., 46 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060019937	A1	20060126	US 2005-188839	20050726
CA 2575376	A1	20060202	CA 2005-2575376	20050726
WO 2006011148	A2	20060202	WO 2005-IL802	20050726
WO 2006011148	A3	20090108		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
GB 2433258	A	20070620	GB 2007-3687	20050726

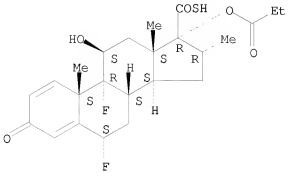
PRIORITY APPLN. INFO.:			
	US 2004-590920P	P	20040726
	US 2004-599875P	P	20040810
	US 2004-509920P	P	20040726
	WO 2005-IL802	W	20050726

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB Novel crystalline forms II, III, IV, V, VI, VII and VIII of 6α,9α-difluoro-11β-hydroxy-16α-methyl-3-oxo-17α-propionyloxyandrosta-1,4-diene-17β-carboxylic acid, a chemical intermediate useful in the preparation of fluticasone propionate, and novel methods of making these forms, substantially free of water, are disclosed.

IT 80474-45-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(crystalline forms of 6α,9α-difluoro-11β-hydroxy-16α-methyl-3-oxo-17α-propionyloxy-androsta-1,4-diene 17β-carboxylic acid for prpg. fluticasone propionate)
RN 80474-45-9 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

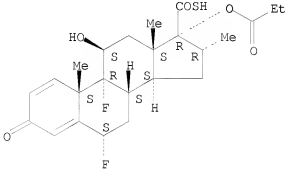


L19 ANSWER 8 OF 25 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2006:36999 CAPLUS
DOCUMENT NUMBER: 144:108501
TITLE: Synthesis and powder preparation of fluticasone propionate
INVENTOR(S): Kaspi, Joseph; Arad, Oded; Brand, Michael; Shookrun, Moty; Malka, Simona; Alnabari, Mohammed; Hazan, Shalom; Malesevic, Vlado
PATENT ASSIGNEE(S): Israel
SOURCE: U.S. Pat. Appl. Publ., 27 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20060009435	A1	20060112	US 2005-159241		20050623
PRIORITY APPLN. INFO.:			US 2004-581702P	P	20040623
			US 2004-623877P	P	20041102

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 144:108501
AB Fluticasone propionate is prepared from the thiocarboxylic acid precursor and a halofluoromethane in the presence of water and a base in an organic solvent. The prepared fluticasone propionate is spray dried to form a powder that is highly suitable for administration by inhalation. A process of purifying a key intermediate in the synthesis of fluticasone propionate is also disclosed.
IT 80474-45-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis and powder preparation of fluticasone propionate)
RN 80474-45-9 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

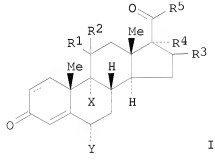
Absolute stereochemistry. Rotation (-).



L19 ANSWER 9 OF 25 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:361853 CAPLUS
DOCUMENT NUMBER: 142:411529
TITLE: A process for preparing androstane 17β-carboxylic acids and androstane 17β-carbothioic acid fluoromethyl esters
INVENTOR(S): Vetturini, Emanuela; Farnesi, Sara
PATENT ASSIGNEE(S): S.N.I.F.F. Italia S.p.A., Italy
SOURCE: Eur. Pat. Appl., 20 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1526139	A1	20050427	EP 2003-24329	20031024
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 20050090675	A1	20050428	US 2004-969241	20041021
PRIORITY APPLN. INFO.:			EP 2003-24329 A	20031024

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 142:411529; MARPAT 142:411529
GI



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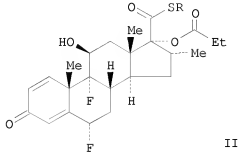
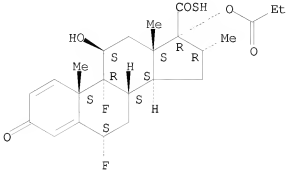


II

AB The present invention relates to an oxidation process for preparing androstane 17β-carboxylic acid derivs., such as I [R1, R2 = H, OH; R1R2 = O; X, Y = Cl, F; R3 = α-Me, β-Me; R4 = OH, alkanoyloxy; R5 = OH], with a high purity degree by oxidative demolition of the carbon atom 21 of the compound II [R5 = CH2OH] by using hydrogen peroxide in a basic environment in a polar solvent optionally in the presence of water. The invention also discloses a process for preparing fluticasone propionate II [R = CH2F] from androstane-17β-carbothioic acid derivative II [R = H] and bromofluoromethane.
IT 80474-45-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of androstane 17β-carboxylic acids and androstane 17β-carbothioic acid fluoromethyl esters)
RN 80474-45-9 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-,

(6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 10 OF 25 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:857616 CAPLUS
DOCUMENT NUMBER: 141:332364
TITLE: Process for the preparation of steroidal carbothioic acid derivatives and intermediates
INVENTOR(S): Loevli, Trond; Nygaard, Anne-mette; Reitstoen, Bjoern; Fivelstad, Magny
PATENT ASSIGNEE(S): Alpharma Aps, Den.
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087731	A1	20041014	WO 2004-DK242	20040402
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1466920	A1	20041013	EP 2003-7756	20030404
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
AU 2004226318	A1	20041014	AU 2004-226318	20040402
AU 2004226318	B2	20080605		
CA 2530680	A1	20041014	CA 2004-2530680	20040402
EP 1611149	A1	20060104	EP 2004-725301	20040402
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2006522028	T	20060928	JP 2006-504347	20040402
NO 2005004636	A	20051227	NO 2005-4636	20051010
IN 2005CN02890	A	20070406	IN 2005-CN2890	20051103
US 20070270584	A1	20071122	US 2007-552118	20070413

PRIORITY APPLN. INFO.: EP 2003-7756 A 20030404
DK 2004-449 A 20040319
WO 2004-DK242 W 20040402

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 141:332364; MARPAT 141:332364

AB Steroidal carboxthioc acids were prepared by reacting steroidal carboxylic acids or salts with a coupling agent alone or in conjunction with a coupling enhancer followed by reaction with a nucleophilic agent comprising a sulfur atom. Thus, 6α,9α-difluoro-11β-hydroxy-16α-methyl-3-oxo-17α-propionyloxyandrosta-1,,4-diene-17β-carboxylic acid, prepared from flumetasone, in DMA was treated with EDC (1-ethyl-3-(3-dimethylaminopropyl)carbodiimide) and NHS (N-hydroxysuccinimide) followed by sodium hydrosulfide hydrate and then bromofluoromethane to give 92% S-fluoromethyl 6α,9α-difluoro-11β-hydroxy-16α-methyl-3-oxo-

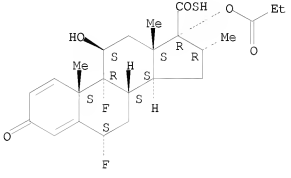
17α-propionyloxyandrosta-1,4-diene-17β-carbothioate
(fluticasone propionate).

IT 80474-45-9P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for preparation of steroidal carbothioic acid derivs. and intermediates)

RN 80474-45-9 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



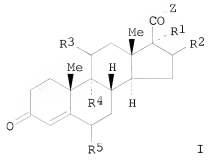
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 11 OF 25 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:837305 CAPLUS
DOCUMENT NUMBER: 141:332363
TITLE: Process for the preparation of steroidal 17β-carbothioates
INVENTOR(S): Loevli, Trond; Nygard, Anne Mette; Reitstoen, Bjoern; Fivelstad, Magny
PATENT ASSIGNEE(S): Alpharma Aps, Den.
SOURCE: Eur. Pat. Appl., 18 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1466920	A1	20041013	EP 2003-7756	20030404
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
AU 2004226318	A1	20041014	AU 2004-226318	20040402
AU 2004226318	B2	20080605		
CA 2530680	A1	20041014	CA 2004-2530680	20040402
WO 2004087731	A1	20041014	WO 2004-DK242	20040402
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1611149	A1	20060104	EP 2004-725301	20040402
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1798757	A	20060705	CN 2004-80015412	20040402
JP 2006522028	T	20060928	JP 2006-504347	20040402
NO 2005004636	A	20051227	NO 2005-4636	20051010
IN 2005CN02890	A	20070406	IN 2005-CN2890	20051103
US 20070270584	A1	20071122	US 2007-552118	20070413

PRIORITY APPLN. INFO.:
EP 2003-7756 A 20030404
DK 2004-449 A 20040319
WO 2004-DK242 W 20040402

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 141:332363
GI



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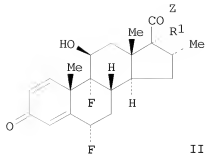


II

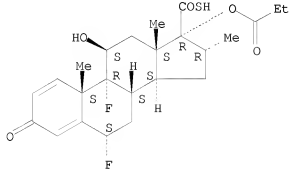
AB A novel method was disclosed for the conversion of steroidal 17β-carboxylic acids I (Z = OH) to the corresponding carbothioates I [R1 = H, OH, acyloxy; R2 = H, α-OH, α-, β-alkyl; R1R2 = fused 1,3-dioxolane ring of the form -OCR7R8O-; R3 = OH, protected hydroxyl; R4 = H, halogen; R3R4 = bond, -O- (epoxide); R5 = H, halogen; R7, R8 = H, alkyl; Z = SCH2F, SCH2Br, S(CH2)2F] including fluticasone propionate II (R1 = COCH2Me, Z = SCH2F), via novel in situ generated 17β-carboxy imidazolyl- or succinimidyl esters. Thus, flumetasone II (R1 = OH, Z = CH2OH) was oxidized using periodic acid to form the corresponding acid II (R1 = Z = OH) in 98% yield. The the acid was esterified with MeCH2COCl using NEt3 to give 17α-propionate II (R1 = OCOCH2Me, Z = OH) in 99% yield, and subsequent treatment of the 17α-propionate with NHS and FCH2Br gave fluticasone propionate in 75% yield.

IT 80474-45-9P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for the preparation of steroidal 17β-carbothioates)

RN 80474-45-9 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

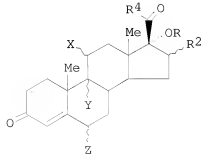


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:515530 CAPLUS
DOCUMENT NUMBER: 141:54528
TITLE: Preparation of 17β-fluorinated-androstane esters from androstane 17β-carbothioate intermediates
INVENTOR(S): Da Col, Marco; Cainelli, Gianfranco; Umani Ronchi, Achille; Sandri, Sergio; Contento, Michele; Fortunato, Giuseppe
PATENT ASSIGNEE(S): Farmabios S.R.L., Italy; Boriani, Maria Adele
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052912	A1	20040624	WO 2003-EP13908	20031208
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2510609	A1	20040624	CA 2003-2510609	20031208
AU 2003293803	A1	20040630	AU 2003-293803	20031208
EP 1575983	A1	20050921	EP 2003-789176	20031208
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006515581	T	20060601	JP 2004-558031	20031208
MX 2005006106	A	20051214	MX 2005-6106	20050608
US 20060116359	A1	20060601	US 2005-538083	20050608
IN 2005CN01524	A	20070622	IN 2005-CN1524	20050705

PRIORITY APPLN. INFO.: IT 2002-MI2606 A 20021209
WO 2003-EP13908 W 20031208
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 141:54528; MARPAT 141:54528
GI



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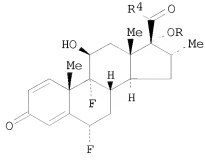


F II

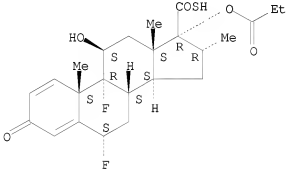
AB The present invention discloses a process for the preparation of androstane-17β-carbothioate intermediates, such as I [R = H, COR1; R1 = alkyl; R2 = H, alkyl; OR and R2 = 16α,17α-isopropylidenedioxy, 16α,17α-alkylidenedioxy; R4 = SCH(R3)OH; R3 = H, alkyl, (un)substituted Ph, aralkyl; X, Y and Z, in α or β position = H, OH, Cl, F, CO; X,Y = epoxy; dashed line = single or double bond], for their use in preparing 17β-fluorinated-androstane ester derivs, I (R4 = SCH(R3)F). Thus, androst-1,4-diene derivative II (R = COEt, R4 = OH), obtained by the reaction of II (R = H, R4 = OH) and propionyl chloride, was reacted with dimethylthiocarbamoyl chloride to provide dimethylthiocarbamoyl derivative II [R = COEt, R4 = SCONMe2 (III)]. Dimethylthiocarbamoyl derivative III, on treatment with phosphoric acid, provided carbothioic acid derivative II (R = COEt, R4 = SH), which upon reaction with formaldehyde and followed via selective nucleophilic fluorination, afforded 17β-fluorinated-androstane ester derivative II (R = COEt, R4 = SCH2F).

IT 80474-45-9P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 17β-fluorinated-androstane esters from androstane 17β-carbothioate intermediates)

RN 80474-45-9 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



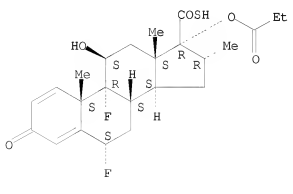
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L19 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:490444 CAPLUS
DOCUMENT NUMBER: 141:42892
TITLE: Thiocarboxylic acid organic salts and processes utilizing the same
INVENTOR(S): Brand, Michael; Saeed, Shadi; Davidi, Guy; Arad, Oded
PATENT ASSIGNEE(S): Chemagis Ltd., Israel
SOURCE: U.S. Pat. Appl. Publ., 7 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040116396	A1	20040617	US 2003-406310	20030404
EP 1431305	A1	20040623	EP 2003-257879	20031216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: IL 2002-153462 A 20021216
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 141:42892
AB The invention provides a thiocarboxylic acid organic amine salts selected from the group consisting of 6,9-difluoro-11-hydroxy-7-propionyloxy-16α-methylpregna-3-oxo-1,4-diene-17-thiocarboxylic acid diisopropylethylamine salt, triethylamine salt and N-methylpiperidine salt. Fluticasone propionate of high purity is produced according to the following steps: (1) preparing a mixture of the above salts in acetonitrile; (2) adding to this mixture about a two-fold molar excess of chlorofluoromethane; (3) heating the mixture at 50° for a specified period of time; (4) cooling the reaction mixture to a temperature lower than 10°; and (5) separating the precipitated crystals by filtration.
IT 80474-45-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of fluticasone propionate with high purity from thiocarboxylic acid organic salts)
RN 80474-45-9 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

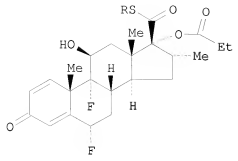


L19 ANSWER 14 OF 25 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:3108 CAPLUS
DOCUMENT NUMBER: 140:59830
TITLE: Process for preparing fluticasone propionate from flumethasone
INVENTOR(S): Jadav, Kanaksinh Jesingbhai; Kambhampati, Sudhakar; Chitturi, Trinadha Rao; Thennati, Rajamannar
PATENT ASSIGNEE(S): Sun Pharmaceutical Industries Limited, India
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004001369	A2	20031231	WO 2003-IN219	20030616
WO 2004001369	A3	20040408		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
IN 2002MU00544	A	20040320	IN 2002-MU544	20020620
IN 2003MU00387	A	20050211	IN 2003-MU387	20030417
IN 216727	A1	20080331		
AU 2003263575	A1	20040106	AU 2003-263575	20030616
EP 1534733	A2	20050601	EP 2003-760856	20030616
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 20050256325	A1	20051117	US 2004-517944	20041213
US 7208613	B2	20070424		

PRIORITY APPLN. INFO.:
IN 2002-MU544 A 20020620
IN 2003-MU387 A 20030417
WO 2003-IN219 W 20030616

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 140:59830
GI



I

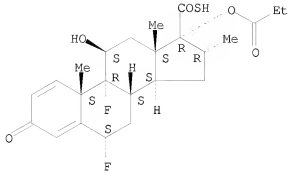
AB The present invention relates to a process for preparing fluticasone propionate [I; R = CH2F (II)] via (a) treating I [R = CONMe2 (III)] with alkali metal carbonate-alc. system to obtain I [R = H (IV)]; and (b) reacting IV with bromofluoromethane. The present invention also provides an improved process for preparation of II via reacting 6α,9α-difluoro-11β-hydroxy-16α-methyl-3-oxo-17α-(propionyloxy) androsta-1, 4-dien-17β-carboxylic acid with N,N-dimethylthiocarbamoyl chloride in an inert aprotic solvent in the presence of an iodide catalyst and a base to give III and then reacting III with a hydrosulfide reagent and bromofluoromethane. Thus, flumethasone on oxidation with periodic acid afforded 6α,9α-difluoro-11β,17α-dihydroxy-16α-methyl-3-oxo-androst-1,4-diene-17β-carboxylic acid which was reacted with propionic anhydride to provide 6α,9α-difluoro-11β-hydroxy-16α-methyl-17α-propionyloxy-3-oxo-androst-1,4-diene-17β-carboxylic acid (V). The intermediate V was reacted with N,N-dimethylthiocarbamoyl chloride to afford III which was treated with K2CO3 in methanol to give IV. Subsequent reaction between IV and bromofluoromethane yielded II.

IT 80474-45-9P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of fluticasone propionate from flumethasone)

RN 80474-45-9 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

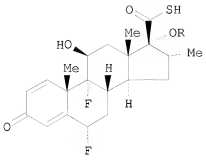


OS.CITING REF COUNT:	1	THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT:	2	THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:633732 CAPLUS
DOCUMENT NUMBER: 139:180234
TITLE: Process for the preparation of 6α,9α-difluoro-17α-(1-oxopropoxy-11β-hydroxy-16α-methyl-3-oxo-androst-1,4-diene-17β-carbothioic acid
INVENTOR(S): Coote, Steven John; Nice, Rosalyn Kay; Wipperman, Mark David
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003066654	A1	20030814	WO 2003-EP1116	20030203
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2473753	A1	20030814	CA 2003-2473753	20030203
AU 2003206837	A1	20030902	AU 2003-206837	20030203
AU 2003206837	B2	20081113		
EP 1472271	A1	20041103	EP 2003-704542	20030203
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003007352	A	20041214	BR 2003-7352	20030203
CN 1628125	A	20050615	CN 2003-803269	20030203
JP 2005521680	T	20050721	JP 2003-566025	20030203
NZ 534044	A	20061027	NZ 2003-534044	20030203
RU 2333217	C2	20080910	RU 2004-121675	20030203
ZA 2004005515	A	20050712	ZA 2004-5515	20040712
IN 2004KN01042	A	20051230	IN 2004-KN1042	20040721
IN 212689	A1	20071214		
US 20050080065	A1	20050414	US 2004-502684	20040727
MX 2004007529	A	20041110	MX 2004-7529	20040804
NO 2004003665	A	20040901	NO 2004-3665	20040901
PRIORITY APPLN. INFO.:			GB 2002-2563 A	20020204
			WO 2003-EP1116 W	20030203

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 139:180234
GI



I

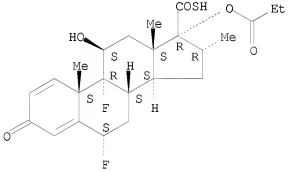
AB The present invention relates to a novel process for the synthesis of 6α,9α-difluoro-17α-(1-oxopropoxy)-11β-hydroxy-16α-methyl-3-oxo-androst-1,4-diene-17β-carbothioic acid [I; R = COC2H5 (II)] or a salt thereof, useful in the preparation of anti-inflammatory steroids. Thus, I (R = H), in N,N-dimethylformamide, was treated with propionyl chloride to afford II in 83.7% yield.

IT 80474-45-9P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of difluorooxopropoxyhydroxymethyl oxoandrostdienecarbothioic acid from difluorodihydroxymethyl oxoandrostdienecarbothioic acid)

RN 80474-45-9 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

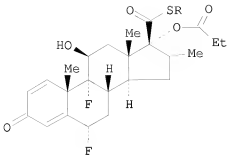
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:633731 CAPLUS
DOCUMENT NUMBER: 139:180233
TITLE: Process for preparing fluticasone propionate
INVENTOR(S): Coote, Steven John; Nice, Rosalyn Kay; Wipperman, Mark David
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003066653	A2	20030814	WO 2003-EP1115	20030203
WO 2003066653	A3	20031224		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2473896	A1	20030814	CA 2003-2473896	20030203
AU 2003206836	A1	20030902	AU 2003-206836	20030203
AU 2003206836	B2	20090108		
EP 1474436	A2	20041110	EP 2003-704541	20030203
EP 1474436	B1	20091028		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003007243	A	20041214	BR 2003-7243	20030203
JP 2005517019	T	20050609	JP 2003-566024	20030203
CN 1642969	A	20050720	CN 2003-807437	20030203
CN 100338087	C	20070919		
NZ 534320	A	20070727	NZ 2003-534320	20030203
RU 2333218	C2	20080910	RU 2004-122928	20030203
AT 446965	T	20091115	AT 2003-704541	20030203
ZA 2004005826	A	20050811	ZA 2004-5826	20040721
IN 2004KN01049	A	20060519	IN 2004-KN1049	20040722
MX 2004007530	A	20041110	MX 2004-7530	20040804
NO 2004003664	A	20040901	NO 2004-3664	20040901
NO 327138	B1	20090504		
US 20050222107	A1	20051006	US 2005-502866	20050502

PRIORITY APPLN. INFO.: GB 2002-2564 A 20020204
WO 2003-EP1115 W 20030203

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 139:180233
GI



I

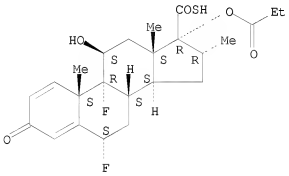
AB The present invention relates to a process for preparing fluticasone propionate [I; R = CH2F (II)] as crystalline polymorphic which comprises reacting I [R = H (III)] or a salt thereof with LCH2F (L = leaving group) optionally in the presence of a phase transfer catalyst, a water-immiscible non-solvating organic liquid solvent and water. Thus, 6α,9α-difluoro-11β,17α-dihydroxy-16α-methyl-3-oxo-androst-1,4-diene-17β-carbothioic acid was reacted with propionyl chloride to provide III which was treated with bromofluoromethane in presence of benyltributylammonium chloride and triethylamine using Et acetate as solvent and hexane as anti-solvent to afford II in 95.7% yield.

IT 80474-45-9P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of fluticasone propionate from difluorodihydroxymethyl oxoandrostdienecarbothioic acid)

RN 80474-45-9 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:132962 CAPLUS
DOCUMENT NUMBER: 138:170401
TITLE: A method for preparing fluticasone derivatives
INVENTOR(S): Partridge, John Joseph; Walker, Dwight Sherod
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003013427	A2	20030220	WO 2002-US24586	20020801
WO 2003013427	A3	20031016		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002321884	A1	20030224	AU 2002-321884	20020801
PRIORITY APPLN. INFO.:			US 2001-367341P	P 20010803
			WO 2002-US24586	W 20020801
OTHER SOURCE(S):			CASREACT 138:170401	

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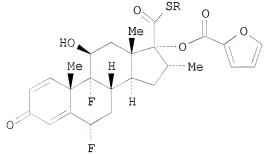
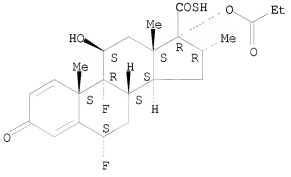


I

AB A method was developed for preparing 6α,9α-difluoro-17α-[(2-furanylcarbonyl)oxy]-11β-hydroxy-16α-methyl-3-oxoandrosta-1,4-diene-β-carbothioic acid S-fluoromethyl ester by reacting the thiocarboxylic acid with a solution containing chlorofluoromethane and a mild base medium at a temperature in the range of -20° C to 60° C. Thus, the thioacid furoate I (R = H) was treated with ClCH2F in DMF containing NaI and KHCO3 at -15° for 15m and then warmed to 15°

≥ 15m to give 82.6 % I (R = CH2F).
IT 80474-45-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(method for preparing fluticasone derivs.)
RN 80474-45-9 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid,
6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-,
(6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

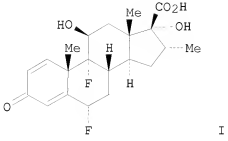
L19 ANSWER 18 OF 25 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2002:90061 CAPLUS
DOCUMENT NUMBER: 136:134954
TITLE: Preparation of the anti-inflammatory steroid intermediate 6α,9α-difluoro-11β,17α-dihydroxy-16α-methylandrosta-1,4-dien-3-one-17β-carboxylic acid via a novel oxidation process
INVENTOR(S): Albinson, Frederick David; Coote, Steven John; Robinson, John Malcolm
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008243	A1	20020131	WO 2001-GB3289	20010720
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2406963	A1	20020131	CA 2001-2406963	20010720
EP 1301526	A1	20030416	EP 2001-949791	20010720
EP 1301526	B1	20080618		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001010430	A	20030708	BR 2001-10430	20010720
HU 2003001108	A2	20030828	HU 2003-1108	20010720
HU 2003001108	A3	20080428		
JP 2004504403	T	20040212	JP 2002-514148	20010720
NZ 522083	A	20040625	NZ 2001-522083	20010720
AU 2001270906	B2	20051013	AU 2001-270906	20010720
CN 1315864	C	20070516	CN 2001-811440	20010720
AT 398628	T	20080715	AT 2001-949791	20010720
IL 152348	A	20080807	IL 2001-152348	20010720
ES 2307628	T3	20081201	ES 2001-949791	20010720
ZA 2002008372	A	20040211	ZA 2002-8372	20021017
IN 2002KN01303	A	20050311	IN 2002-KN1303	20021018
NO 2002005054	A	20021105	NO 2002-5054	20021021
NO 324836	B1	20071217		
KR 787293	B1	20071220	KR 2002-714367	20021025
MX 2002010967	A	20030327	MX 2002-10967	20021107
US 20040043974	A1	20040304	US 2003-333537	20030815
HK 1056179	A1	20090717	HK 2003-106680	20030917

PRIORITY APPLN. INFO.:			
GB 2000-17988	A	20000721	
WO 2001-GB3289	W	20010720	

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 136:134954

GI



I

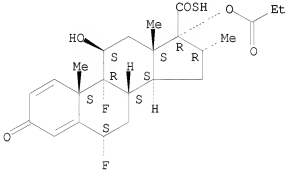
AB The present invention relates to a novel oxidation process for the synthesis of a known intermediate (I), useful in the preparation of anti-inflammatory steroids. Thus, flumethasone in THF was treated with an aqueous solution of periodic acid to give I in 98% yield.

IT 80474-45-9P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of difluorodihydroxymethyl androstadienonecarboxylic acid via a novel oxidation process)

RN 80474-45-9 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

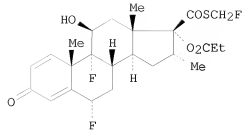
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2001:636040 CAPLUS
DOCUMENT NUMBER: 135:211173
TITLE: Method for the preparation of fluticasone and related 17β-carbothioic esters using a novel carbothioic acid synthesis and novel purification methods
INVENTOR(S): Barkalow, Jufang; Chamberlin, Steven A.; Cooper, Arthur J.; Hossain, Azad; Hufnagel, John J.; Langridge, Denton C.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001062722	A2	20010830	WO 2001-US6055	20010223
WO 2001062722	A3	20020516		
W: CA, JP, MX				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
US 20020133032	A1	20020919	US 2000-513399	20000225
CA 2400919	A1	20010830	CA 2001-2400919	20010223
CA 2400919	C	20090120		
EP 1257531	A2	20021120	EP 2001-916231	20010223
EP 1257531	B1	20040915		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
JP 2003529564	T	20031007	JP 2001-561731	20010223
JP 3986313	B2	20071003		
AT 276235	T	20041015	AT 2001-916231	20010223
PT 1257531	E	20050131	PT 2001-916231	20010223
ES 2228832	T3	20050416	ES 2001-916231	20010223
CN 1381444	A	20021127	CN 2001-119671	20010419
IN 2001MU00632	A	20050819	IN 2001-MU632	20010706
MX 2002008275	A	20030128	MX 2002-8275	20020823
US 20040209854	A1	20041021	US 2004-847846	20040518
US 7214807	B2	20070508		

PRIORITY APPLN. INFO.: US 2000-513399 A 20000225
WO 2001-US6055 W 20010223
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 135:211173; MARPAT 135:211173
GI

I



II

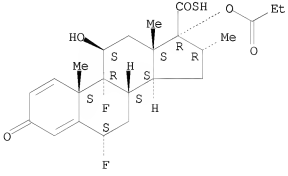
AB A method for converting a carboxylic acid to a carbothioic acid group I (R and R1 independently are C1-6 alkyl or R and R1 independently are C1-6 alkylene) was accomplished. This method was used for the conversion of carboxylic acids to carbothioic acids, and for both the preparation of androstane 17β-carbothioic acids and fluticasone propionate which avoided the use of column chromatog. Thus II was prepared from flumethasone reacted in Pd(II) acetate and PPh3 in DMA yielding the 17β-carboxylic acid which was treated with propionyl chloride followed by N,N-dimethylthiocarbamoyl chloride and then chlorofluoromethane yielding II in 70%.

IT 80474-45-9DP, salts
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of androstane 17β-carbothioic esters)

RN 80474-45-9 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1999:48734 CAPLUS
DOCUMENT NUMBER: 130:110460
TITLE: Therapeutically active compounds hydrolyzable in human or animal blood to compounds with reduced therapeutic activity
INVENTOR(S): Biggadike, Keith; Angell, Richard Martyn; Procopiou, Panayiotis Alexandrou; Farrell, Rosanne Mary; Ramesh, Usha V.; Holmes, Duncan Stuart
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9901467	A2	19990114	WO 1998-EP3905	19980626
WO 9901467	A3	19990514		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 998484	A1	20000510	EP 1998-934998	19980626
EP 998484	B1	20040303		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2000513380	T	20001010	JP 1999-506277	19980626
JP 2002322168	A	20021108	JP 2002-54329	19980626
AT 260931	T	20040315	AT 1998-934998	19980626
ES 2215310	T3	20041001	ES 1998-934998	19980626
US 20020019378	A1	20020214	US 2000-446585	20000211
US 20050070515	A1	20050331	US 2003-635680	20030807

PRIORITY APPLN. INFO.:

GB 1997-13818	A	19970630
GB 1997-13819	A	19970630
JP 1999-506277	A3	19980626
WO 1998-EP3905	W	19980626
US 2000-446585	A3	20000211

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 130:110460
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Herein are described therapeutically active compds. hydrolyzable in human or animal blood to compds. with reduced therapeutic activity with the proviso that the therapeutically active compound is not selected from steroids I [R1 = O, S, NH; R2 = OC(:O)C1-6-alkyl; R3 = H, α-,

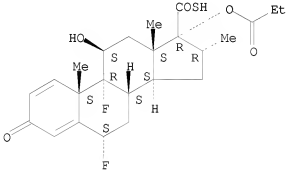
β-Me, methylene; R2R3 = OCR6R7O; R4, R5 = H, halogen; R6, R7 = H, C1-6-alkyl; dashed line = single or double bond], II and III. There is also described a method of identifying a compound capable of providing a therapeutic effect at a target site within a human or animal body with reduced systemic potency to said body comprising evaluating the half-life of said compound in the presence of human serum paraoxonase, where the suitable compds. have a half-life of less than 1 h. Thus, spiroandrostadiene IV was prepared from carbothioioc acid V via cyclization with 1,1'-carbonyldiimidazole in DMF. Spiroandrostadiene IV had an EC50 < 250 nM in the glucocorticosteroid assay, while dihydrofuranone VI·CF3CO2H was found to be 5.3 more active than isoprenaline as a β2-adrenoreceptor agonist.

IT 80474-45-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of glucocorticosteroid derivs. as β2-adrenoreceptor agonists)

RN 80474-45-9 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



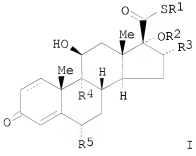
OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 21 OF 25 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1998:706263 CAPLUS
DOCUMENT NUMBER: 129:276096
ORIGINAL REFERENCE NO.: 129:56305a
TITLE: Process for the manufacture of androstane-17-carbothioates via esterification with halofluoromethanes
INVENTOR(S): Cherkez, Stephen
PATENT ASSIGNEE(S): Chemagis Ltd., Israel
SOURCE: Israeli, 15 pp.
CODEN: ISXXAQ
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IL 109656	A	19980222	IL 1994-109656	19940515
IN 185691	A1	20010407	IN 1994-DE1716	19941230
PRIORITY APPLN. INFO.:			IL 1994-109656 A	19940515

OTHER SOURCE(S): CASREACT 129:276096; MARPAT 129:276096
GI

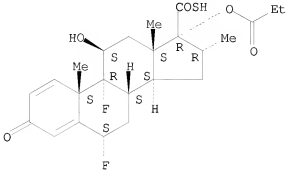


I

AB A process for the preparation of an androstane-17-carbothioic ester I [R1 = fluoromethyl, difluoromethyl, trifluoromethyl; R2 = COR6; R6 = C1-3-alkyl; R3 = H, α-Me, β-Me, methylene; R = H, Cl, F; R5 = H, F; dotted line = single or double bond] by the direct esterification of a corresponding androstane-17-carbothioic acid I [R1 = H] with a halofluoromethane of formula XCH2F, XCHF2 or XCF3 [X = Br, Cl] and optionally in the presence of a catalyst is claimed. Thus, fluticasone propionate (I; R1 = CH2F, R2 = COEt, R3 = Me, R4 = R5 = Me, dashed line = double bond) was prepared via esterification of I (R1 = H, R2 = COEt, R3 = Me, R4 = R5 = Me, dashed line = double bond) with BrCH2F in THF containing potassium tert-butoxide and catalytic Bu4NBr.
IT 80474-45-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of androstane-17-carbothioates via esterification with halofluoromethanes)
RN 80474-45-9 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-,

(6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



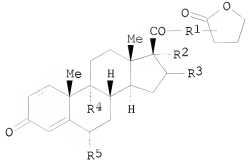
OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L19 ANSWER 22 OF 25 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1997:481001 CAPLUS
DOCUMENT NUMBER: 127:95450
ORIGINAL REFERENCE NO.: 127:18385a,18388a
TITLE: Preparation of lactone derivatives of 17β-carboxy, carbothio and amide androstane derivatives
INVENTOR(S): Biggadike, Keith; Procopiou, Panayiotis Alexandrou
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9724365	A1	19970710	WO 1996-GB3140	19961219
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2241728	A1	19970710	CA 1996-2241728	19961219
AU 9711409	A	19970728	AU 1997-11409	19961219
AU 721865	B2	20000713		
EP 876392	A1	19981111	EP 1996-942493	19961219
EP 876392	B1	20000705		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 11501675	T	19990209	JP 1996-524095	19961219
JP 2947944	B2	19990913		
CN 1209135	A	19990224	CN 1996-180107	19961219
CN 1133643	C	20040107		
BR 9612309	A	19990713	BR 1996-12309	19961219
HU 9903707	A2	20000328	HU 1999-3707	19961219
HU 9903707	A3	20000428		
AT 194356	T	20000715	AT 1996-942493	19961219
ES 2150150	T3	20001116	ES 1996-942493	19961219
PT 876392	E	20001229	PT 1996-942493	19961219
TW 498072	B	20020811	TW 1997-86108975	19970626
IN 1997CA01233	A	20050311	IN 1997-CA1233	19970627
US 6197761	B1	20010306	US 1998-91748	19980624
NO 9803004	A	19980826	NO 1998-3004	19980626
NO 311022	B1	20011001		
HK 1012193	A1	20010123	HK 1998-113683	19981216
GR 3034564	T3	20010131	GR 2000-402254	20001004

PRIORITY APPLN. INFO.: GB 1995-26651 A 19951229
GB 1996-13121 A 19960621
WO 1996-GB3140 W 19961219

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 127:95450
GI



I

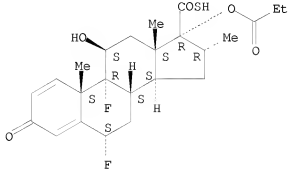
AB Title compds. I [R1 = O, S, NH; R2 = OCO-C1-6 alkyl; R3 = H, Me, CH2; or R2R3 = bond, -O-CR6R7-O-; R6, R7 = H, alkyl; R4, R5 = H, halo] and their solvates, useful as anti-inflammatory or anti-allergic agents, are prepared Thus, 6α,9α-difluoro-11β-hydroxy-16α-methyl-3-oxo-17α-propionyloxyandrosta-1,4-diene-17β-carbothioic acid was reacted with α-bromo-γ-butyrolactone in DMF containing K2CO3 to give both the 3(S)-S- (main product) and the 3(R)-S-(2-oxotetrahydrofuryl)ester. In an in vitro study using Hela cells transfected with detectable reporter gene (secreted placental alkaline phosphatase, sPAP), these had an EC50 of <400 nM. Pharmaceutical compns. containing I are described.

IT 80474-45-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of lactone derivs. of 17β-carboxy, carbothio and amide androstane derivs.)

RN 80474-45-9 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



OS.CITING REF COUNT: 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (19 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

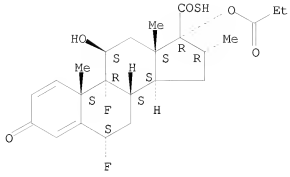
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 23 OF 25 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1995:64934 CAPLUS
DOCUMENT NUMBER: 122:81726
ORIGINAL REFERENCE NO.: 122:15539a,15542a
TITLE: Synthesis and Structure-Activity Relationships in a Series of Antiinflammatory Corticosteroid Analogs, Halomethyl Androstane-17β-carbothioates and -17β-carboselenoates
AUTHOR(S): Phillipps, Gordon H.; Bailey, Esme J.; Bain, Brian M.; Borella, Raymond A.; Buckton, Jacky B.; Clark, John C.; Doherty, Alice E.; English, Alan F.; Fazakerley, Harold; et al.
CORPORATE SOURCE: Glaxo Research and Development Limited, Greenford/Middlesex, UB6 OHE, UK
SOURCE: Journal of Medicinal Chemistry (1994), 37(22), 3717-29
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The preparation and topical antiinflammatory potencies of a series of halomethyl 17α-(acyloxy)-11β-hydroxy-3-oxoandrosta-1,4-diene-17β-carbothioates, carrying combinations of 6α-fluoro, 9α-fluoro, 16-Me, and 16-methylene substituents, are described. Key synthetic stages were the preparation of carbothioic acids and their reaction with dihalomethanes. The carbothioic acids were formed from 17β-carboxylic acids by initial reaction with dimethylthiocarbamoyl chloride followed by aminolysis of the resulting rearranged mixed anhydride with diethylamine, or by carboxyl activation with 1,1'-carbonyldiimidazole (CDI) or 2-fluoro-N-methylpyridiniumtosylate (FMPT) and reaction with hydrogen sulfide, the choice of reagent being governed by the 17α-substituent. Carboxyl activation with FMPT and reaction with sodium hydrogen selenide led to the halomethyl 16-methyleneandrostane-17β-carboselenoate analogs. Antiinflammatory potencies were measured in humans using the vasoconstriction assay and in rats and mice by a modification the Tonelli croton oil ear assay. Best activities were shown by fluoromethyl and chloromethyl carbothioates with a 17α-propionyloxy group. S-Fluoromethyl 6α,9α-difluoro-11β-hydroxy-16α-methyl-3-oxo-17α-(propionyloxy)androsta-1,4-diene-17β-carbothioate (fluticasone propionate, FP) was selected for clin. study as it showed high topical antiinflammatory activity but caused little hypothalamic-pituitary-adrenal suppression after topical or oral administration to rodents.

IT 80474-45-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and structure-activity relationships in a series of antiinflammatory corticosteroid analogs and halomethyl androstane-17β-carbothioates and -17β-carboselenoates)
RN 80474-45-9 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

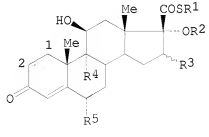


OS.CITING REF COUNT: 32 THERE ARE 32 CAPLUS RECORDS THAT CITE THIS RECORD (32 CITINGS)

L19 ANSWER 24 OF 25 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1987:5327 CAPLUS
DOCUMENT NUMBER: 106:5327
ORIGINAL REFERENCE NO.: 106:999a,1002a
TITLE: Androstane carbothioates
INVENTOR(S): Phillipps, Gordon H.; Bain, Brian M.; Williamson, Christopher; Steeples, Ian P.
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
SOURCE: Can., 22 pp. Division of Can. Appl. No. 370,853. CODEN: CAXXA4
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 1205464	A2	19860603	CA 1985-476250	19850311
US 4335121	A	19820615	US 1981-234113	19810213
ZA 8100976	A	19820728	ZA 1981-976	19810213
CH 651307	A5	19850913	CH 1984-3890	19810213
CA 1201114	A1	19860225	CA 1981-370853	19810213
GB 2137206	A	19841003	GB 1983-25400	19830922
GB 2137206	B	19850403		
AT 8400170	A	19920515	AT 1984-170	19840119
AT 395428	B	19921228		
AT 8602031	A	19920515	AT 1986-2031	19860728
AT 395429	B	19921228		
AT 9100344	A	19960215	AT 1991-344	19910219
AT 401521	B	19960925		
PRIORITY APPLN. INFO.:			GB 1980-5174 A	19800215
			CA 1981-370853 A3	19810213
			GB 1980-13339 A	19800423
			AT 1981-674 A	19810213
			CH 1981-982 A	19810213
			GB 1981-4496 A3	19810213

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
GI



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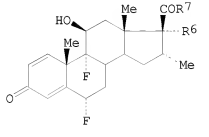


II

AB Title compds. I (R1 = CH2F, CH2Cl, CH2Br, CH2CH2F; R2 = alkanoyl; R3 = H, α-Me, β-Me,:CH2; R2R3 = α,α-CMe2O; R4 = H, Cl, F; R5 = H, F; Δ1 may be present or absent) are prepared as

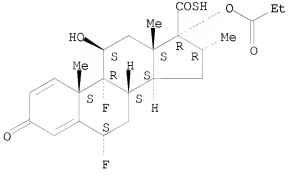
antiinflammatory agents. Thus, androstadienone derivative II (R6 = R7 = OH) was treated with EtCOCl to give II (R6 = O2CEt, R7 = OH), which was treated with Et3N and Me2NCSCl to give II (R6 = O2CEt, R7 = OCSNMe2). Rearrangement and aminolysis in refluxing Et2NH gave II (R6 = O2CEt, R7 = SH), which was alkylated by BrCH2Cl to give II (R6 = O2CEt, R7 = SCH2Cl), a preferred compound I show good topical antiinflammatory activity by the McKenzie patch test in man, and by reduction of croton oil-induced edema in mice and rats; some I show minimal hypothalmic/pituitary/adrenal-suppressive activity as well (no data).

IT 80474-45-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and chloromethylation of)

RN 80474-45-9 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L19 ANSWER 25 OF 25 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1982:163044 CAPLUS
DOCUMENT NUMBER: 96:163044
ORIGINAL REFERENCE NO.: 96:26859a,26862a
TITLE: Androstane carbothioates
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
SOURCE: Neth. Appl., 63 pp.
CODEN: NAXXAN
DOCUMENT TYPE: Patent
LANGUAGE: Dutch
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 8100707	A	19810916	NL 1981-707	19810213
NL 191792	B	19960401		
NL 191792	C	19960802		
BE 887518	A1	19810813	BE 1981-203794	19810213
DK 8100623	A	19810816	DK 1981-623	19810213
DK 147022	B	19840319		
DK 147022	C	19840827		
FI 8100444	A	19810816	FI 1981-444	19810213
FI 70904	B	19860718		
FI 70904	C	19861027		
SE 8101010	A	19810816	SE 1981-1010	19810213
SE 452468	B	19871130		
SE 452468	C	19880310		
AU 8167298	A	19810820	AU 1981-67298	19810213
AU 544517	B2	19850606		
FR 2477156	A1	19810904	FR 1981-2818	19810213
FR 2477156	B1	19841116		
JP 56138200	A	19811028	JP 1981-20790	19810213
JP 63037120	B	19880722		
DE 3105307	A1	19811210	DE 1981-3105307	19810213
DE 3105307	C2	19880929		
US 4335121	A	19820615	US 1981-234113	19810213
GB 2088877	A	19820616	GB 1981-4496	19810213
GB 2088877	B	19840704		
ZA 8100976	A	19820728	ZA 1981-976	19810213
CH 644615	A5	19840815	CH 1981-982	19810213
CH 651307	A5	19850913	CH 1984-3890	19810213
AT 8100674	A	19920515	AT 1981-674	19810213
AT 395427	B	19921228		
DE 3153379	C2	19921119	DE 1981-3153379	19810213
FR 2485542	A1	19811231	FR 1981-15812	19810817
FR 2485542	B1	19830610		
US 4578221	A	19860325	US 1983-513396	19830714
GB 2137206	A	19841003	GB 1983-25400	19830922
GB 2137206	B	19850403		
AT 8400170	A	19920515	AT 1984-170	19840119
AT 395428	B	19921228		
US 4650610	A	19870317	US 1985-753428	19850710
AT 8602031	A	19920515	AT 1986-2031	19860728
AT 395429	B	19921228		
AT 9100344	A	19960215	AT 1991-344	19910219
AT 401521	B	19960925		

SK 278140	B6	19960207	SK 1991-4034		19911223
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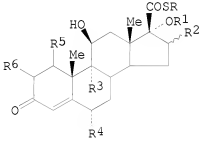
PRIORITY APPLN. INFO.:			GB 1980-5174	A	19800215
			GB 1980-13339	A	19800423
			AT 1981-674	A	19810213
			CH 1981-982	A	19810213
			GB 1981-4496	A3	19810213
			US 1981-256845	A1	19810423
			US 1982-408837	A1	19820817
			US 1983-513396	A1	19830714

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 96:163044; MARPAT 96:163044
GI



I

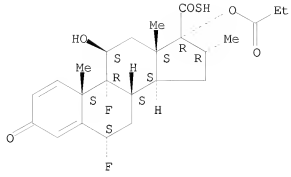
AB Antiinflammatory (no data) androstanes I (R = CH2F, CH2Cl, CH2Br, CH2CH2F; R1 = acyl; R1R2 = CH2O; R2 = H, α- or β-Me, R7 = H; R2R7 = CH2; R3 = H, Cl, F; R4 = H, F; R5 = R6 = H; R5R6 = bond) were prepared Thus, I (R = CH2Cl, R1 = COEt, R2 = β-Me, R3 = F, R4 = H, R5R6 = bond, R7 = H) was prepared by treating the corresponding 17-carboxylic acid with Me2NCSCl, hydrolyzing to the 17-thiocarboxylic acid, and esterifying with BrCH2Cl.

IT 80474-45-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and esterification of)

RN 80474-45-9 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



OS.CITING REF COUNT: 29 THERE ARE 29 CAPLUS RECORDS THAT CITE THIS RECORD (31 CITINGS)

the name of the request. To delete a component from the multifile SDI, enter DELETE and the name of the component.

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L4            11 S L2 NOT L3
L5         17324 S 4432.3.25/RID
L6           902 S CARBOTHIO? AND L5
L7               STRUCTURE UPLOADED
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L9          4352 S L7 SSS FUL
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L11         1945 S L10  SUB=L9 FUL

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L26             1 S 28416-82-2/RN
L27             1 S 65429-42-7/RN
L28             1 S 73205-13-7/RN

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L31             1 S L20 AND L29
L32             1 S L20 AND L30
L33            37 S L24/P
L34             6 S L28/P
L35            41 S L33 OR L34
L36            20 S L19 AND L35
L37            41 S L35 OR L36
L38            39 S L37 NOT (2010/SO OR 2009/SO OR 2008/SO OR 2007/SO OR 2006/SO

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L38 ANSWER 1 OF 39 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2010:621494 CAPLUS
TITLE: Preparation for local administration containing fluticasone propionate
INVENTOR(S): Nagao, Takeshi; Kagami, Kazuhiro; Ogawa, Taisuke
PATENT ASSIGNEE(S): Aska Pharmaceutical Co., Ltd., Japan; Galeni Search Laboratories
SOURCE: Jpn. Kokai Tokkyo Koho, 13pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2010111592	A	20100520	JP 2008-283080	20081104
WO 2010052896	A1	20100514	WO 2009-JP5843	20091104
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PE, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, SM, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

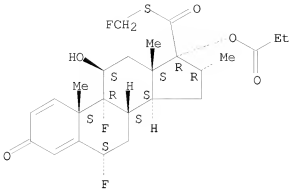
PRIORITY APPLN. INFO.: JP 2008-283080 A 20081104

AB Disclosed are fluticasone propionate microparticles useful as active ingredients for a preparation for local administration which enables the long-term retention of microparticles of an active ingredient in a mucosa for a long period to achieve a higher therapeutic effect and a higher long-acting property, each of which comprises a fluticasone propionate crystal core and needle-like fluticasone propionate crystals which have been grown radially around the crystal core and which has an average particle size of 10 to 60 μm. Thus, fluticasone propionate crystal microparticle of the present invention (average particle size of 30-50 μm) was prepared by dissolving fluticaone propionate in ethanol, applying a nuclear particle of fluticasone propionate, and gradually adding water thereto. The microparticle attached well to the nasal mucosa in rats.

IT 80474-14-2P
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(preparation for local administration containing fluticasone propionate)

RN 80474-14-2 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.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L38 ANSWER 2 OF 39 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2010:597858 CAPLUS
DOCUMENT NUMBER: 152:534698
TITLE: Preparation for local administration containing fluticasone propionate
INVENTOR(S): Nagao, Takeshi; Kagami, Kazuhiro; Ogawa, Yasuaki
PATENT ASSIGNEE(S): JGC Corp., Japan
SOURCE: PCT Int. Appl., 23pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

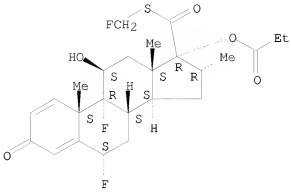
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2010052896	A1	20100514	WO 2009-JP5843	20091104
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PE, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, SM, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
JP 2010111592	A	20100520	JP 2008-283080	20081104
PRIORITY APPLN. INFO.:			JP 2008-283080 A	20081104

AB Disclosed are fluticasone propionate microparticles useful as active ingredients for a preparation for local administration which enables the long-term retention of microparticles of an active ingredient in a mucosa for a long period to achieve a higher therapeutic effect and a higher long-acting property, each of which comprises a fluticasone propionate crystal core and needle-like fluticasone propionate crystals which have been grown radially around the crystal core and which has an average particle size of 10 to 60 μm. Thus, fluticasone propionate crystal microparticle of the present invention (average particle size of 30-50 μm) was prepared by dissolving fluticaone propionate in ethanol, applying a nuclear particle of fluticasone propionate, and gradually adding water thereto. The microparticle attached well to the nasal mucosa in rats.

IT 80474-14-2P, Fluticasone propionate
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(preparation for local administration containing fluticasone propionate)

RN 80474-14-2 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 3 OF 39 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:1191620 CAPLUS
DOCUMENT NUMBER: 151:358973
TITLE: Process for the preparation of androstadienecarbothioates
INVENTOR(S): Malanga, Franco; Pozzoli, Claudio Gianluca
PATENT ASSIGNEE(S): Farmabios S.p.A., Italy
SOURCE: Ital. Appl., 21pp.
CODEN: ITXXCZ
DOCUMENT TYPE: Patent
LANGUAGE: Italian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

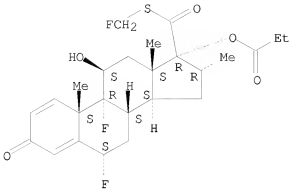
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IT 2005MI2419	A1	20060320	IT 2005-MI2419	20051220
IT 1366982	B1	20091012		
PRIORITY APPLN. INFO.:			IT 2005-MI2419	20051220

OTHER SOURCE(S): CASREACT 151:358973; MARPAT 151:358973
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A process for the preparation of androstadienecarbothioates I [R1 = COR7; R2 = H, α- or β-Me, CH2; OR1R2 = isopropylidenedioxy; R3 = OH; R4 = H, α-halogen; R3R4 = β-epoxide; R5 = H, haloge; R6 = Cl, Br, F; R7 = C1-3-alkyl; dashed line = single or double bond] from the corresponding (N,N-dimethylcarbamoyl)thiocarbonyl derivs. II is described. The process comprises reaction of II with HNR8R9 [R8, R9 = linear or branched C2-6-alkyl, C5-6-cycloalkyl] followed by reaction with XCH2R6 [X = Cl, Br, mesylate, tosylate, trifluoromethanesulfonate]. Thus, fluticasone propionate (III) was prepared from 17β-[(N,N-Dimethylcarbamoyl)thiocarbonyl]-6α,9α-difluoro-11β-hydroxy-16α-methyl-17α-propionyloxy-3-oxoandrosta-1,4-diene (IV) via sequential treatment with HNEt2 followed by BrCH2F in DMF containing Et3N.
IT 80474-14-2P, Fluticasone propionate
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of androstadienecarbothioates from (N,N-dimethylcarbamoyl)thiocarbonyl derivs.)
RN 80474-14-2 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.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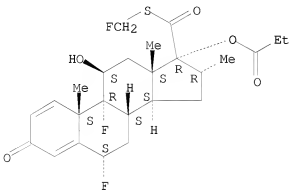
L38 ANSWER 4 OF 39 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:131178 CAPLUS
DOCUMENT NUMBER: 150:214576
TITLE: Process for refining Fluticasone propionate
INVENTOR(S): Liu, Baofeng; Yang, Fuzhen; Xu, Baoying; Hu, Jianying
PATENT ASSIGNEE(S): Tianjin Central Pharmaceutical Co., Ltd., Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 7pp. CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 101353367	A	20090128	CN 2008-10151322	20080916
PRIORITY APPLN. INFO.:			CN 2008-10151322	20080916

AB Fluticasone propionate was refined by alc. and ester mixed solvent via recrystn. The alc. was selected from methanol, ethannol, and propanol. The seter was chosen from Et acetate, Me acetate, or Pr acetate.
IT 80474-14-2P, Fluticasone propionate
RL: PUR (Purification or recovery); PREP (Preparation)
(refining Fluticasone propionate by recrystn.)
RN 80474-14-2 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.



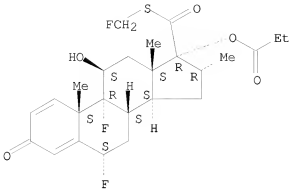
L38 ANSWER 5 OF 39 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:1536347 CAPLUS
DOCUMENT NUMBER: 150:64058
TITLE: Deuterium-enriched fluticasone propionate for treating asthma, allergic rhinitis, eczema, and psoriasis
INVENTOR(S): Czarnik, Anthony W.
PATENT ASSIGNEE(S): Protia, LLC, USA
SOURCE: U.S. Pat. Appl. Publ., 7pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080318915	A1	20081225	US 2007-765657	20070620
WO 2008157652	A1	20081224	WO 2008-US67422	20080619

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2007-765657 A 20070620
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 150:64058
AB The present application describes deuterium-enriched fluticasone propionate, pharmaceutically acceptable salt forms thereof, and methods of treating using the same. In the examples, four deuterium-enriched fluticasone propionate compds. are presented, and a synthesis scheme is provided.
IT 80474-14-2DP, Fluticasone propionate, deuterium-enriched
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(deuterium-enriched fluticasone propionate for treating asthma, allergic rhinitis, eczema, and psoriasis)
RN 80474-14-2 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.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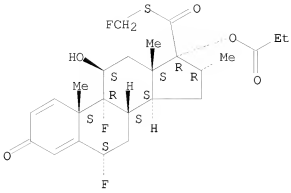
L38 ANSWER 6 OF 39 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:1531501 CAPLUS
DOCUMENT NUMBER: 150:64039
TITLE: Deuterium-enriched fluticasone propionate for treating asthma, allergic rhinitis, eczema, and psoriasis
INVENTOR(S): Czarnik, Anthony
PATENT ASSIGNEE(S): Protia LLC, USA
SOURCE: PCT Int. Appl., 19pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008157652	A1	20081224	WO 2008-US67422	20080619
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20080318915	A1	20081225	US 2007-765657	20070620
PRIORITY APPLN. INFO.:			US 2007-765657 A	20070620

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 150:64039
AB The present application describes deuterium-enriched fluticasone propionate, pharmaceutically acceptable salt forms thereof, and methods of treating using the same. In the examples, four deuterium-enriched fluticasone propionate compds. are presented, and a synthesis scheme is provided.
IT 80474-14-2DP, Fluticasone propionate, deuterium-enriched
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(deuterium-enriched fluticasone propionate for treating asthma, allergic rhinitis, eczema, and psoriasis)
RN 80474-14-2 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.

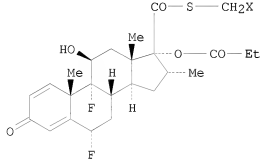


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 7 OF 39 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:237775 CAPLUS
DOCUMENT NUMBER: 148:355999
TITLE: Method for preparing fluticasone propionate
INVENTOR(S): Shen, Yuliang; Liu, Xirong; Xie, Laibin; He, Huixian
PATENT ASSIGNEE(S): Hunan Steroid Chemicals Co., Ltd., Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 11pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 101125875	A	20080220	CN 2007-10044880	20070815
CN 100549022	C	20091014		
PRIORITY APPLN. INFO.:			CN 2007-10044880	20070815

OTHER SOURCE(S): CASREACT 148:355999
GI



I

AB Fluticasone propionate is prepared by (1) allowing to react compound 1(6α,9α-difluoro-11β-hydroxy-16α-methyl-17α-propionyloxy-3-one-androst-1,4-diene-17β-thiocarboxylate) with XCH2Br (X = Cl, Br, iodo) at a molar ration of 1-5:1 in solvent in the presence of alkali at 0-150°C for 0.2-5 h to obtain halide I; (2) then reacting with ammonium tetraalkyl fluoride or M+F- in the presence of ion solution and solvent at 20-100°C for 0.1-24 h to obtain the product with formula 3, wherein M+F- is fluoride of alkaline metal ion, alkaline earth metal ion or transition metal ion; alkali is hydroxide, phosphate or carbonate of alkaline metal or alkaline earth metal, or organic base. Ion solution is [Bmim][X], wherein [Bmim] is 1-butyl-3-methylimidazole; [X] is BF4, PF6, SbF6, OTf or NTF2. Solvent used in step (1) is DMSO, N,N-DMF, acetone, methanol, ethanol, acetonitrile, dichloromethane, petroleum ether, toluene and/or xylene; solvent used in step (2) is DMSO, N,N-DMF, acetone, ethanol, acetonitrile, 1,4-dioxane, tert-butanol, dichloromethane, petroleum ether, toluene and/or xylene. Tetraalkylammonium fluoride is C1-C20 tetraammonium fluoride with or without crystal water. The molar ratio of tetraalkylammonium fluoride to I, M+F- to I and ion solution to I is 1-5:1, 1-6:1 and 0.1-6:1 resp. The method has advantages of simple operation process, high yield, low cost, convenience for post treatment

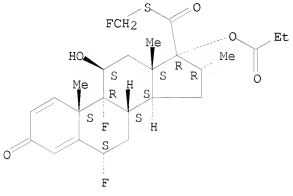
and promising industrial prospect.

IT 80474-14-2P, Fluticasone propionate
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of fluticasone propionate)

RN 80474-14-2 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.

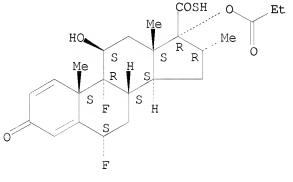


IT 80474-45-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of fluticasone propionate)

RN 80474-45-9 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

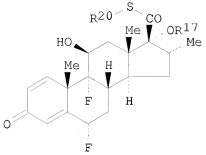
Absolute stereochemistry. Rotation (-).



L38 ANSWER 8 OF 39 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:1454878 CAPLUS
DOCUMENT NUMBER: 148:55252
TITLE: Novel process for the preparation of fluticasone propionate, a therapeutically useful glucocorticoid anti-inflammatory agent
INVENTOR(S): Gore, Vinayak G.; Gadakar, Mahesh; Pokharkar, K.; Wakchure, V.
PATENT ASSIGNEE(S): Generics UK, Limited, UK; Merck Development Centre Private Limited
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007144668	A2	20071221	WO 2007-GB50328	20070611
WO 2007144668	A3	20080228		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
AU 2007258949	A1	20071221	AU 2007-258949	20070611
CA 2654644	A1	20071221	CA 2007-2654644	20070611
EP 2044099	A2	20090408	EP 2007-733749	20070611
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
US 20090177001	A1	20090709	US 2008-329790	20081208

PRIORITY APPLN. INFO.: IN 2006-MU937 A 20060614
IN 2006-MU938 A 20060614
WO 2007-GB50328 W 20070611
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 148:55252; MARPAT 148:55252
GI



I

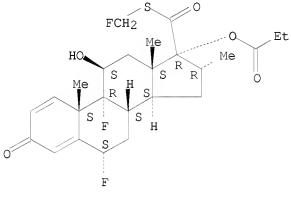
AB A novel process was disclosed for the preparation of the steroidal 17β-carboxylic thioate fluticasone propionate I (R17 = COCH2Me, R20 = CH2F) and comprised the use of soluble mixed fluorides to introduce fluorine by displacing an appropriate leaving group X in compds., such as I (R17 = COCH2Me, R20 = CH2X, X = Cl, Br, iodo, OSO2Ph, OSO2C6H4-4-Me, OSO2Me, OSO2CF3, OCOMe), resulting in selective and controlled fluorination without the formation of undesirable byproducts.

IT 80474-14-2P, Fluticasone propionate
RL: IMF (Industrial manufacture); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(process for the preparation of fluticasone propionate, a therapeutically useful glucocorticoid anti-inflammatory agent)

RN 80474-14-2 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L38 ANSWER 9 OF 39 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:789323 CAPLUS
DOCUMENT NUMBER: 147:257929
TITLE: Method for synthesis of Fluticasone propionate
INVENTOR(S): Qin, Guoru
PATENT ASSIGNEE(S): Gaoyou Zhaokang Pharmaceutical Co., Ltd., Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 18pp. CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 100999541	A	20070718	CN 2006-10161627	20061219
CN 100497367	C	20090610		
PRIORITY APPLN. INFO.:			CN 2006-10161627	20061219

OTHER SOURCE(S): CASREACT 147:257929

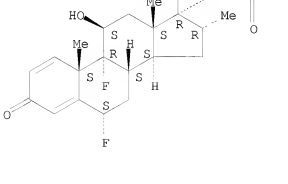
AB The title compound was synthesized from Flumethasone oxidation with sodium periodate or periodic acid to obtain 6α,9α-difluoro-11β,17α-dihydroxyl-16α-methyl-3-oxy-androstane-1,4-diene-17β-carboxylic acid; thiolation with N,N-dimethylthioaminoformyl chloride in the presence of diisopropylethylamine; and potassium iodide to give 6α,9α-difluoro-11β-hydroxyl-16α-methyl-3-oxy-androstane-1,4-diene-17β-thiocarboxylic acid; esterification with propanoyl chloride; and sulfur alkylation with bromofluoromethane in the presence of potassium carbonate as catalyst. This invention has the advantages of a short reaction process, high yield, low cost, and high product purity.

IT 80474-45-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of Fluticasone propionate from Flumethasone)

RN 80474-45-9 CAPLUS

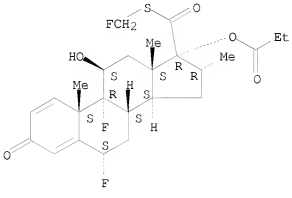
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 80474-14-2P, Fluticasone propionate
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of Fluticasone propionate from Flumethasone)
RN 80474-14-2 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid,
6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-,
S-(fluoromethyl) ester, (6α,11β,16α,17α)- (CA
INDEX NAME)

Absolute stereochemistry.



L38 ANSWER 10 OF 39 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:771505 CAPLUS
DOCUMENT NUMBER: 148:246376
TITLE: Simple & improved process for the preparation of androstane intermediates useful for preparation of anti-inflammatory compounds
INVENTOR(S): Narayanrao, Kankan Rajendra; Ramachandra, Rao Dharmaraj; Purshottam, Pande Vidyadhar
PATENT ASSIGNEE(S): Cipla Limited, India
SOURCE: Indian Pat. Appl., 18pp.
CODEN: INXXBQ
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IN 2005MU00438	A	20070706	IN 2005-MU438	20050406

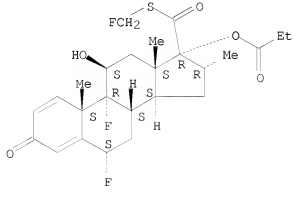
PRIORITY APPLN. INFO.: IN 2005-MU438 20050406
OTHER SOURCE(S): CASREACT 148:246376

AB Disclosed herein is a simple, one-pot process for the preparation of fluticasone propionate comprising treating the compound of formula II with piperidine to obtain compound of formula III, which is reacted in situ with bromo fluoro methane in the absence of any inorg. base to yield a compound of formula (I). The invention also discloses process for preparation of compound of formula III.

IT 80474-14-2P, Fluticasone propionate
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of androstane derivs. use for preparation of anti-inflammatory compds.)

RN 80474-14-2 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.



L38 ANSWER 11 OF 39 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:321341 CAPLUS
DOCUMENT NUMBER: 146:337279
TITLE: Process for sublimation of nonvolatile organic compounds by heating on a conducting grid
INVENTOR(S): Komarov, V. S.; Mikhalev, S. P.; Morozov, Yu. N.; Sergeev, G. B.
PATENT ASSIGNEE(S): OOO "Nanokriokhimiya", Russia
SOURCE: Russ., 7pp.
CODEN: RUXXE7
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

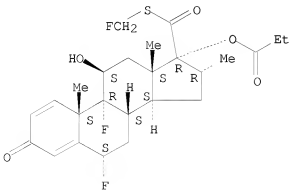
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
RU 2295511	C1	20070320	RU 2005-141574	20051230
PRIORITY APPLN. INFO.:			RU 2005-141574	20051230

AB Nonvolatile organic compds. are sublimed by heating them on a conducting grid, preferably made of metal, through which elec. current is passed, such that a layer of organic material is deposited on the grid and this layer is mech. pressed to the grid. In examples given, thiourea, gabapentin and fluticasone propionate are purified by this process of sequential sublimation-condensation with final product purities of 99.2-99.6%. This process results in accelerated sublimation and increased purity of sublimed product. Drawings of the apparatus used are included.

IT 80474-14-2P, Fluticasone propionate
RL: PEP (Physical, engineering or chemical process); PUR (Purification or recovery); PREP (Preparation); PROC (Process)
(process for sublimation of nonvolatile organic compds. by heating on conducting grid)

RN 80474-14-2 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.



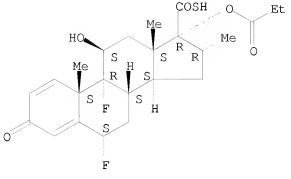
L38 ANSWER 12 OF 39 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:113502 CAPLUS
DOCUMENT NUMBER: 146:184636
TITLE: Method for preparation of Fluticasone propionate
INVENTOR(S): Chu, Dingjun; Zhang, Defa
PATENT ASSIGNEE(S): Shanghai Aurisco International Trading Co., Ltd., Peop. Rep. China
SOURCE: PCT Int. Appl., 14pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007012228	A1	20070201	WO 2005-CN1339	20050829
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
CN 1903871	A	20070131	CN 2005-10028147	20050726
CN 100560598	C	20091118		
EP 1911741	A1	20080416	EP 2005-781841	20050829
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
US 20080125407	A1	20080529	US 2008-20519	20080126
IN 2008DN01479	A	20080404	IN 2008-DN1479	20080220

PRIORITY APPLN. INFO.: CN 2005-10028147 A 20050726
WO 2005-CN1339 W 20050829

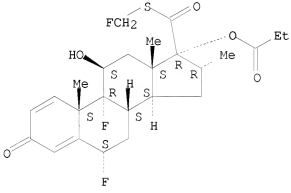
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 146:184636
AB A method for preparing S-fluoromethyl-6α,9α-difluoro-11β-hydroxy-16α-methyl-17α-propionyloxy-3-oxo-androsta-1,4-diene-17β-carbothioate (Fluticasone propionate) from Flumethason was disclosed. The claimed method can be conducted simply and conveniently in mild conditions with high product purity, and be suitable for com. process on a large scale.
IT 80474-45-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of Fluticasone propionate from Flumethason)
RN 80474-45-9 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 80474-14-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of Fluticasone propionate from Flumethason)
RN 80474-14-2 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid,
6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-,
S-(fluoromethyl) ester, (6α,11β,16α,17α)- (CA
INDEX NAME)

Absolute stereochemistry.

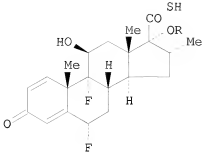


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 13 OF 39 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2006:381179 CAPLUS
DOCUMENT NUMBER: 144:412741
TITLE: Process for preparation of fluticasone analogs via esterification of a carbothioic acid
INVENTOR(S): Sobral, Luis; Martin, Dionisio; Heggie, William; Leitaeo, Emilia
PATENT ASSIGNEE(S): Hovione Inter Ltd., Switz.; Turner, Craig Robert
SOURCE: PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006043015	A1	20060427	WO 2004-GB5052	20041202
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2004324237	A1	20060427	AU 2004-324237	20041202
CA 2584052	A1	20060427	CA 2004-2584052	20041202
EP 1802647	A1	20070704	EP 2004-822330	20041202
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 101065394	A	20071031	CN 2004-80044435	20041202
JP 2008517044	T	20080522	JP 2007-537362	20041202
NZ 554865	A	20090331	NZ 2004-554865	20041202
RU 2351605	C2	20090410	RU 2007-118654	20041202
ZA 2007003257	A	20090624	ZA 2007-3257	20041202
NO 2007001996	A	20070706	NO 2007-1996	20070419
IN 2007DN03197	A	20070831	IN 2007-DN3197	20070427
US 20070287846	A1	20071213	US 2007-577462	20070731

PRIORITY APPLN. INFO.: PT 2004-103202 A 20041019
WO 2004-GB5052 W 20041202
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 144:412741
GI



I

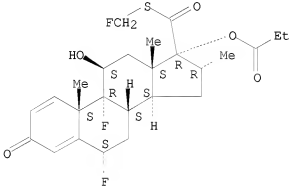
AB A process for preparing esters, such as I (R = CO(CH2)n, COCHMe2, n = 1, 2), was disclosed and comprised esterification of the C-17 hydroxyl group of 6α,9α-difluoro-11β,17α-dihydroxy-16α-methyl-3-oxoandrosta-1,4-diene-17β-carbothioic acid I (R = H) with a slight excess of a corresponding acyl chloride, RCOCl, in an inert solvent in the presence of a tertiary amine.

IT 80474-14-2P, Fluticasone propionate 80474-45-9P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(process for preparation of pharmaceutically useful fluticasone analogs via esterification of a corresponding carbothioic acid)

RN 80474-14-2 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6α,11β,16α,17α)- (CA INDEX NAME)

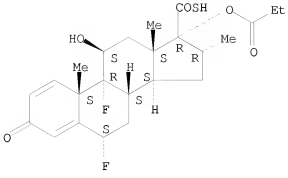
Absolute stereochemistry.



RN 80474-45-9 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

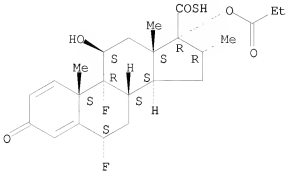
L38 ANSWER 14 OF 39 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2006:74597 CAPLUS
DOCUMENT NUMBER: 144:156707
TITLE: Novel crystalline forms of 6α,9α-difluoro-11β-hydroxy-16α-methyl-3-oxo-17α-propionyloxy-androsta-1,4-diene 17β-carboxylic acid and processes for preparation thereof
INVENTOR(S): Adin, Itai; Iustain, Carmen; Futerman, Yuri
PATENT ASSIGNEE(S): Chemagis Ltd., Israel
SOURCE: U.S. Pat. Appl. Publ., 46 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060019937	A1	20060126	US 2005-188839	20050726
CA 2575376	A1	20060202	CA 2005-2575376	20050726
WO 2006011148	A2	20060202	WO 2005-IL802	20050726
WO 2006011148	A3	20090108		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
GB 2433258	A	20070620	GB 2007-3687	20050726

PRIORITY APPLN. INFO.:			
	US 2004-590920P	P	20040726
	US 2004-599875P	P	20040810
	US 2004-509920P	P	20040726
	WO 2005-IL802	W	20050726

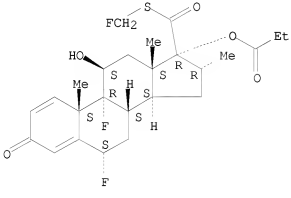
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
AB Novel crystalline forms II, III, IV, V, VI, VII and VIII of 6α,9α-difluoro-11β-hydroxy-16α-methyl-3-oxo-17α-propionyloxyandrosta-1,4-diene-17β-carboxylic acid, a chemical intermediate useful in the preparation of fluticasone propionate, and novel methods of making these forms, substantially free of water, are disclosed.
IT 80474-45-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(crystalline forms of 6α,9α-difluoro-11β-hydroxy-16α-methyl-3-oxo-17α-propionyloxy-androsta-1,4-diene 17β-carboxylic acid for prpg. fluticasone propionate)
RN 80474-45-9 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 80474-14-2P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(crystalline forms of 6α,9α-difluoro-11β-hydroxy-16α-methyl-3-oxo-17α-propionyloxy-androsta-1,4-diene 17β-carboxylic acid for prpg. fluticasone propionate)
RN 80474-14-2 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.

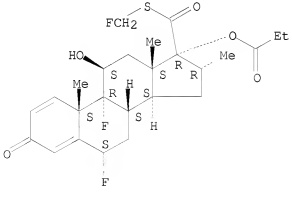


L38 ANSWER 15 OF 39 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2006:36999 CAPLUS
DOCUMENT NUMBER: 144:108501
TITLE: Synthesis and powder preparation of fluticasone propionate
INVENTOR(S): Kaspi, Joseph; Arad, Oded; Brand, Michael; Shookrun, Moty; Malka, Simona; Alnabari, Mohammed; Hazan, Shalom; Malesevic, Vlado
PATENT ASSIGNEE(S): Israel
SOURCE: U.S. Pat. Appl. Publ., 27 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20060009435	A1	20060112	US 2005-159241		20050623
PRIORITY APPLN. INFO.:			US 2004-581702P	P	20040623
			US 2004-623877P	P	20041102

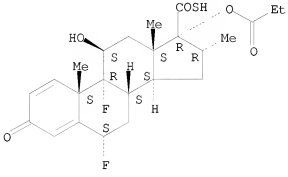
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 144:108501
AB Fluticasone propionate is prepared from the thiocarboxylic acid precursor and a halofluoromethane in the presence of water and a base in an organic solvent. The prepared fluticasone propionate is spray dried to form a powder that is highly suitable for administration by inhalation. A process of purifying a key intermediate in the synthesis of fluticasone propionate is also disclosed.
IT 80474-14-2P, Fluticasone propionate
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and powder preparation of fluticasone propionate)
RN 80474-14-2 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.



IT 80474-45-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis and powder preparation of fluticasone propionate)
RN 80474-45-9 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid,
6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-,
(6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L38 ANSWER 16 OF 39 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:1028074 CAPLUS
DOCUMENT NUMBER: 143:312038
TITLE: Pharmaceutical formulation comprising an androstane derivative and a solubilizing agent in an aqueous liquid carrier
INVENTOR(S): Biggadike, Keith; Buxton, Ian; Daley-Yates, Peter Terence; Fong, Bettina; Ho, Elita Y.; Reed, Kenton Lewis; Sayani, Amyn
PATENT ASSIGNEE(S): UK
SOURCE: U.S. Pat. Appl. Publ., 17 pp., Cont.-in-part of U.S. Ser. No. 66,951.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050209206	A1	20050922	US 2005-503000	20050414
US 20030073676	A1	20030417	US 2002-66951	20020204
US 6787532	B2	20040907		
WO 2003066026	A1	20030814	WO 2003-GB469	20030204
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
WO 2003066033	A1	20030814	WO 2003-GB485	20030204
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003205855	A1	20030902	AU 2003-205855	20030204
EP 1471895	A1	20041103	EP 2003-702732	20030204
EP 1471895	B1	20080528		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005523268	T	20050804	JP 2003-565457	20030204
EP 1757281	A2	20070228	EP 2006-124055	20030204
EP 1757281	A3	20090715		
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PT, SE, SI, SK, TR, LT, LV, RO				
AT 396716	T	20080615	AT 2003-702732	20030204
ES 2305438	T3	20081101	ES 2003-702732	20030204

US 20050175545	A1	20050811	US 2005-503540	20050325
PRIORITY APPLN. INFO.:			US 2002-66951	A2 20020204
			WO 2003-GB469	W 20030204
			GB 2000-19172	A 20000805
			WO 2001-GB3495	A1 20010803
			US 2001-958050	A2 20011002
			US 2002-66961	A 20020204
			EP 2003-702732	A3 20030204
			WO 2003-GB485	W 20030204

OTHER SOURCE(S): MARPAT 143:312038

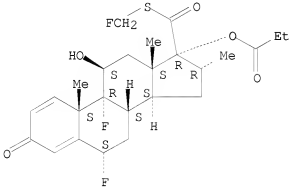
AB A pharmaceutical formulation comprising an aqueous carrier liquid having dissolved therein (a) a glucocorticoid and (b) a solubilizing agent for assisting the solubilization of the medicament in the aqueous carrier liquid is described. A solubilizing agent is selected from a surfactant, such as Triton X100 and Tyloxapol. The formulation further comprises a hydroxy-containing organic cosolvating agent, e.g., dextrose, or phosphatidylcholine. For example, a formulation for intranasal delivery was prepared containing fluticasone propionate 0.05%, Triton X-100 5%, dextrose cosolvating agent 4%, BKC 0.015%, EDTA 0.015%, and water to 100%. The formulation, suitable for 120 actuations, was filled into a bottle fitted with a metering valve adapted to dispense 50 or 100 μl per actuation and the device was fitted into a nasal actuator.

IT 80474-14-2P, Fluticasone propionate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(nasal spray formulation comprising androstane derivative and solubilizing agent in aqueous liquid carrier)

RN 80474-14-2 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.



L38 ANSWER 17 OF 39 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:361853 CAPLUS
DOCUMENT NUMBER: 142:411529
TITLE: A process for preparing androstane 17β-carboxylic acids and androstane 17β-carbothioic acid fluoromethyl esters
INVENTOR(S): Vetturini, Emanuela; Farnesi, Sara
PATENT ASSIGNEE(S): S.N.I.F.F. Italia S.p.A., Italy
SOURCE: Eur. Pat. Appl., 20 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1526139	A1	20050427	EP 2003-24329	20031024
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 20050090675	A1	20050428	US 2004-969241	20041021
PRIORITY APPLN. INFO.:			EP 2003-24329 A	20031024

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 142:411529; MARPAT 142:411529
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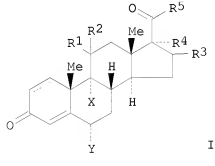

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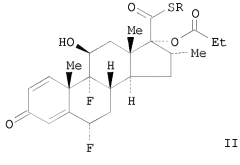


II

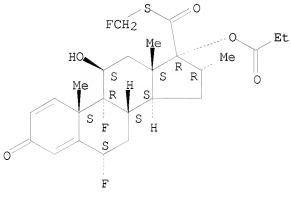
AB The present invention relates to an oxidation process for preparing androstane 17β-carboxylic acid derivs., such as I [R1, R2 = H, OH; R1R2 = O; X, Y = Cl, F; R3 = α-Me, β-Me; R4 = OH, alkanoyloxy; R5 = OH], with a high purity degree by oxidative demolition of the carbon atom 21 of the compound II [R5 = CH2OH] by using hydrogen peroxide in a basic environment in a polar solvent optionally in the presence of water. The invention also discloses a process for preparing fluticasone propionate II [R = CH2F] from androstane-17β-carbothioic acid derivative II [R = H] and bromofluoromethane.

IT 80474-14-2P, Fluticasone propionate
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of androstane 17β-carboxylic acids and androstane 17β-carbothioic acid fluoromethyl esters)

RN 80474-14-2 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid,

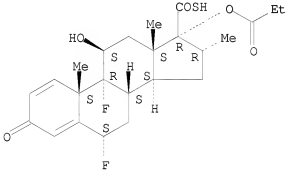
6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-,
S-(fluoromethyl) ester, (6α,11β,16α,17α)- (CA
INDEX NAME)

Absolute stereochemistry.



IT 80474-45-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of androstane 17β-carboxylic acids and androstane
17β-carbothioic acid fluoromethyl esters)
RN 80474-45-9 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid,
6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-,
(6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 18 OF 39 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:857616 CAPLUS
DOCUMENT NUMBER: 141:332364
TITLE: Process for the preparation of steroidal carbothioic acid derivatives and intermediates
INVENTOR(S): Loevli, Trond; Nygaard, Anne-mette; Reitstoen, Bjoern; Fivelstad, Magny
PATENT ASSIGNEE(S): Alpharma Aps, Den.
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087731	A1	20041014	WO 2004-DK242	20040402
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1466920	A1	20041013	EP 2003-7756	20030404
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
AU 2004226318	A1	20041014	AU 2004-226318	20040402
AU 2004226318	B2	20080605		
CA 2530680	A1	20041014	CA 2004-2530680	20040402
EP 1611149	A1	20060104	EP 2004-725301	20040402
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2006522028	T	20060928	JP 2006-504347	20040402
NO 2005004636	A	20051227	NO 2005-4636	20051010
IN 2005CN02890	A	20070406	IN 2005-CN2890	20051103
US 20070270584	A1	20071122	US 2007-552118	20070413

PRIORITY APPLN. INFO.: EP 2003-7756 A 20030404
DK 2004-449 A 20040319
WO 2004-DK242 W 20040402

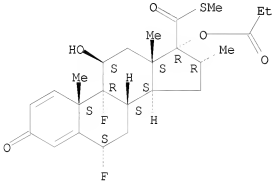
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 141:332364; MARPAT 141:332364
AB Steroidal carboxthioc acids were prepared by reacting steroidal carboxylic acids or salts with a coupling agent alone or in conjunction with a coupling enhancer followed by reaction with a nucleophilic agent comprising a sulfur atom. Thus, 6α,9α-difluoro-11β-hydroxy-16α-methyl-3-oxo-17α-propionyloxyandrosta-1,,4-diene-17β-carboxylic acid, prepared from flumetasone, in DMA was treated with EDC (1-ethyl-3-(3-dimethylaminopropyl)carbodiimide) and NHS (N-hydroxysuccinimide) followed by sodium hydrosulfide hydrate and then bromofluoromethane to give 92% S-fluoromethyl 6α,9α-difluoro-11β-hydroxy-16α-methyl-3-oxo-

17α-propionyloxyandrosta-1,4-diene-17β-carbothioate (fluticasone propionate).

IT 73205-13-7P 80474-14-2P, Fluticasone propionate 80474-45-9P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for preparation of steroidal carbothioic acid derivs. and intermediates)

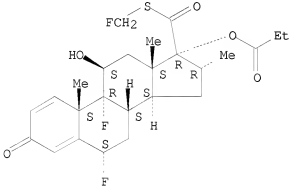
RN 73205-13-7 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-methyl ester, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.



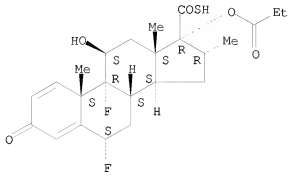
RN 80474-14-2 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.



RN 80474-45-9 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



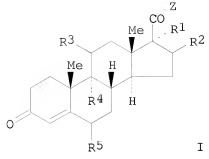
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 19 OF 39 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:837305 CAPLUS
DOCUMENT NUMBER: 141:332363
TITLE: Process for the preparation of steroidal 17β-carbothioates
INVENTOR(S): Loevli, Trond; Nygard, Anne Mette; Reitstoen, Bjoern; Fivelstad, Magny
PATENT ASSIGNEE(S): Alpharma Aps, Den.
SOURCE: Eur. Pat. Appl., 18 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1466920	A1	20041013	EP 2003-7756	20030404
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
AU 2004226318	A1	20041014	AU 2004-226318	20040402
AU 2004226318	B2	20080605		
CA 2530680	A1	20041014	CA 2004-2530680	20040402
WO 2004087731	A1	20041014	WO 2004-DK242	20040402
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1611149	A1	20060104	EP 2004-725301	20040402
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1798757	A	20060705	CN 2004-80015412	20040402
JP 2006522028	T	20060928	JP 2006-504347	20040402
NO 2005004636	A	20051227	NO 2005-4636	20051010
IN 2005CN02890	A	20070406	IN 2005-CN2890	20051103
US 20070270584	A1	20071122	US 2007-552118	20070413

PRIORITY APPLN. INFO.:
EP 2003-7756 A 20030404
DK 2004-449 A 20040319
WO 2004-DK242 W 20040402

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 141:332363
GI



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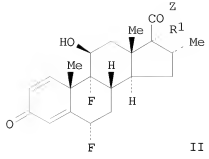


II

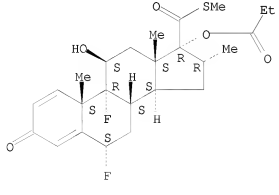
AB A novel method was disclosed for the conversion of steroidal 17β-carboxylic acids I (Z = OH) to the corresponding carbothioates I [R1 = H, OH, acyloxy; R2 = H, α-OH, α-, β-alkyl; R1R2 = fused 1,3-dioxolane ring of the form -OCR7R8O-; R3 = OH, protected hydroxyl; R4 = H, halogen; R3R4 = bond, -O- (epoxide); R5 = H, halogen; R7, R8 = H, alkyl; Z = SCH2F, SCH2Br, S(CH2)2F] including fluticasone propionate II (R1 = COCH2Me, Z = SCH2F), via novel in situ generated 17β-carboxy imidazolyl- or succinimidyl esters. Thus, flumetasone II (R1 = OH, Z = CH2OH) was oxidized using periodic acid to form the corresponding acid II (R1 = Z = OH) in 98% yield. The the acid was esterified with MeCH2COCl using NEt3 to give 17α-propionate II (R1 = OCOCH2Me, Z = OH) in 99% yield, and subsequent treatment of the 17α-propionate with NHS and FCH2Br gave fluticasone propionate in 75% yield.

IT 73205-13-7P 80474-14-2P, Fluticasone propionate
80474-45-9P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for the preparation of steroidal 17β-carbothioates)

RN 73205-13-7 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-methyl ester, (6α,11β,16α,17α)- (CA INDEX NAME)

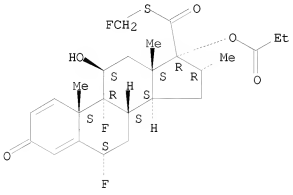
Absolute stereochemistry.



RN 80474-14-2 CAPLUS

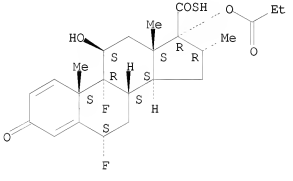
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.



RN 80474-45-9 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



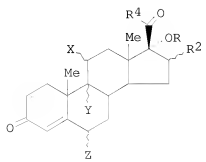
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 20 OF 39 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:515530 CAPLUS
DOCUMENT NUMBER: 141:54528
TITLE: Preparation of 17β-fluorinated-androstane esters from androstane 17β-carbothioate intermediates
INVENTOR(S): Da Col, Marco; Cainelli, Gianfranco; Umani Ronchi, Achille; Sandri, Sergio; Contento, Michele; Fortunato, Giuseppe
PATENT ASSIGNEE(S): Farmabios S.R.L., Italy; Boriani, Maria Adele
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052912	A1	20040624	WO 2003-EP13908	20031208
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2510609	A1	20040624	CA 2003-2510609	20031208
AU 2003293803	A1	20040630	AU 2003-293803	20031208
EP 1575983	A1	20050921	EP 2003-789176	20031208
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006515581	T	20060601	JP 2004-558031	20031208
MX 2005006106	A	20051214	MX 2005-6106	20050608
US 20060116359	A1	20060601	US 2005-538083	20050608
IN 2005CN01524	A	20070622	IN 2005-CN1524	20050705

PRIORITY APPLN. INFO.: IT 2002-MI2606 A 20021209
WO 2003-EP13908 W 20031208

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 141:54528; MARPAT 141:54528
GI



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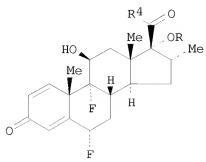


F II

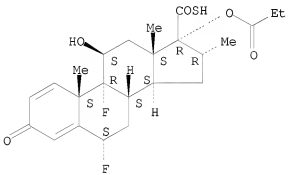
AB The present invention discloses a process for the preparation of androstane-17β-carbothioate intermediates, such as I [R = H, COR1; R1 = alkyl; R2 = H, alkyl; OR and R2 = 16α,17α-isopropylidenedioxy, 16α,17α-alkylidenedioxy; R4 = SCH(R3)OH; R3 = H, alkyl, (un)substituted Ph, aralkyl; X, Y and Z, in α or β position = H, OH, Cl, F, CO; X,Y = epoxy; dashed line = single or double bond], for their use in preparing 17β-fluorinated-androstane ester derivs, I (R4 = SCH(R3)F). Thus, androst-1,4-diene derivative II (R = COEt, R4 = OH), obtained by the reaction of II (R = H, R4 = OH) and propionyl chloride, was reacted with dimethylthiocarbamoyl chloride to provide dimethylthiocarbamoyl derivative II [R = COEt, R4 = SCONMe2 (III)]. Dimethylthiocarbamoyl derivative III, on treatment with phosphoric acid, provided carbothioic acid derivative II (R = COEt, R4 = SH), which upon reaction with formaldehyde and followed via selective nucleophilic fluorination, afforded 17β-fluorinated-androstane ester derivative II (R = COEt, R4 = SCH2F).

IT 80474-45-9P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 17β-fluorinated-androstane esters from androstane 17β-carbothioate intermediates)

RN 80474-45-9 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

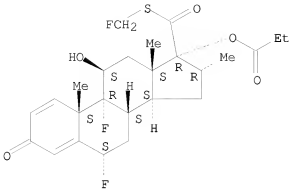


IT 80474-14-2P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of 17β-fluorinated-androstane esters from androstane 17β-carbothioate intermediates)

RN 80474-14-2 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.



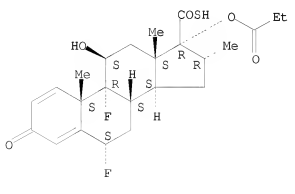
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L38 ANSWER 21 OF 39 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:490444 CAPLUS
DOCUMENT NUMBER: 141:42892
TITLE: Thiocarboxylic acid organic salts and processes utilizing the same
INVENTOR(S): Brand, Michael; Saeed, Shadi; Davidi, Guy; Arad, Oded
PATENT ASSIGNEE(S): Chemagis Ltd., Israel
SOURCE: U.S. Pat. Appl. Publ., 7 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040116396	A1	20040617	US 2003-406310	20030404
EP 1431305	A1	20040623	EP 2003-257879	20031216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

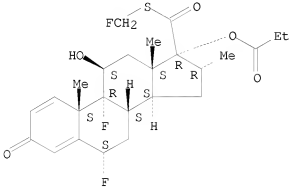
PRIORITY APPLN. INFO.: IL 2002-153462 A 20021216
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 141:42892
AB The invention provides a thiocarboxylic acid organic amine salts selected from the group consisting of 6,9-difluoro-11-hydroxy-7-propionyloxy-16α-methylpregna-3-oxo-1,4-diene-17-thiocarboxylic acid diisopropylethylamine salt, triethylamine salt and N-methylpiperidine salt. Fluticasone propionate of high purity is produced according to the following steps: (1) preparing a mixture of the above salts in acetonitrile; (2) adding to this mixture about a two-fold molar excess of chlorofluoromethane; (3) heating the mixture at 50° for a specified period of time; (4) cooling the reaction mixture to a temperature lower than 10°; and (5) separating the precipitated crystals by filtration.
IT 80474-45-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of fluticasone propionate with high purity from thiocarboxylic acid organic salts)
RN 80474-45-9 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 80474-14-2P, Fluticasone propionate
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fluticasone propionate with high purity from thiocarboxylic acid organic salts)
RN 80474-14-2 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6α,11β,16α,17α)- (CA INDEX NAME)

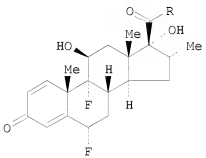
Absolute stereochemistry.



L38 ANSWER 22 OF 39 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:41162 CAPLUS
DOCUMENT NUMBER: 140:94192
TITLE: Method for the preparation and isolation of 6α,9α-difluoro-11β,17α-dihydroxy-16α-methylpregna-3-oxo-1,4-diene-17β-carboxylic acid
INVENTOR(S): Rubinsztain, Yaacov; Segal, Ariana; Kaspi, Joseph; Lerman, Ori
PATENT ASSIGNEE(S): Chemagis Ltd., Israel
SOURCE: U.S. Pat. Appl. Publ., 4 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040010155	A1	20040115	US 2003-406445	20030404
US 6747163	B2	20040608		
IL 150654	A	20061210	IL 2002-150654	20020709

PRIORITY APPLN. INFO.: IL 2002-150654 A 20020709
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 140:94192
GI



I

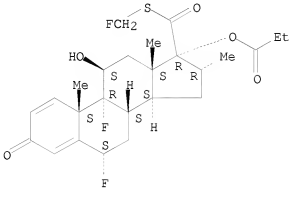
AB The invention provides a process for the preparation and isolation of 6α,9α-difluoro-11β,17α-dihydroxy-16α-methylpregna-3-oxo-1,4-diene-17β-carboxylic acid [I; R = OH (II)] from flumethasone I [R = CH2OH (III)]. The process involves: (a) oxidation of III in a tetrahydrofuran-water mixture with periodic acid at a temperature lower than 30° C.; (b) cooling the reaction mixture to a temperature lower than 10° C.; (c) adding an antisolvent precooled to a temperature lower than 10° C.; and (d) separating the precipitated crystal od II by filtration. Thus, II is obtained in a yield of at least 98% and of a chromatog. purity of at least 99%.
IT 80474-14-2P, Fluticasone-17-propionate
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of 6α,9α-difluoro-11β,17α-dihydroxy-16α-methylpregna-3-oxo-1,4-diene-17β-carboxylic acid from flumethasone)

RN 80474-14-2 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.



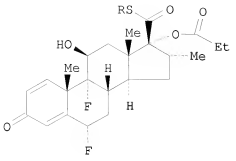
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 23 OF 39 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:3108 CAPLUS
DOCUMENT NUMBER: 140:59830
TITLE: Process for preparing fluticasone propionate from flumethasone
INVENTOR(S): Jadav, Kanaksinh Jesingbhai; Kambhampati, Sudhakar; Chitturi, Trinadha Rao; Thennati, Rajamannar
PATENT ASSIGNEE(S): Sun Pharmaceutical Industries Limited, India
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004001369	A2	20031231	WO 2003-IN219	20030616
WO 2004001369	A3	20040408		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
IN 2002MU00544	A	20040320	IN 2002-MU544	20020620
IN 2003MU00387	A	20050211	IN 2003-MU387	20030417
IN 216727	A1	20080331		
AU 2003263575	A1	20040106	AU 2003-263575	20030616
EP 1534733	A2	20050601	EP 2003-760856	20030616
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 20050256325	A1	20051117	US 2004-517944	20041213
US 7208613	B2	20070424		

PRIORITY APPLN. INFO.:
IN 2002-MU544 A 20020620
IN 2003-MU387 A 20030417
WO 2003-IN219 W 20030616

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 140:59830
GI



I

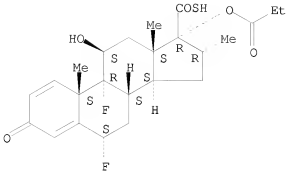
AB The present invention relates to a process for preparing fluticasone propionate [I; R = CH2F (II)] via (a) treating I [R = CONMe2 (III)] with alkali metal carbonate-alc. system to obtain I [R = H (IV)]; and (b) reacting IV with bromofluoromethane. The present invention also provides an improved process for preparation of II via reacting 6α,9α-difluoro-11β-hydroxy-16α-methyl-3-oxo-17α-(propionyloxy) androsta-1, 4-dien-17β-carboxylic acid with N,N-dimethylthiocarbamoyl chloride in an inert aprotic solvent in the presence of an iodide catalyst and a base to give III and then reacting III with a hydrosulfide reagent and bromofluoromethane. Thus, flumethasone on oxidation with periodic acid afforded 6α,9α-difluoro-11β,17α-dihydroxy-16α-methyl-3-oxo-androst-1,4-diene-17β-carboxylic acid which was reacted with propionic anhydride to provide 6α,9α-difluoro-11β-hydroxy-16α-methyl-17α-propionyloxy-3-oxo-androst-1,4-diene-17β-carboxylic acid (V). The intermediate V was reacted with N,N-dimethylthiocarbamoyl chloride to afford III which was treated with K2CO3 in methanol to give IV. Subsequent reaction between IV and bromofluoromethane yielded II.

IT 80474-45-9P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of fluticasone propionate from flumethasone)

RN 80474-45-9 CAPLUS

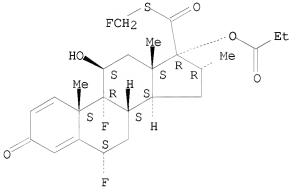
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 80474-14-2P, Fluticasone propionate
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of fluticasone propionate from flumethasone)
RN 80474-14-2 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.

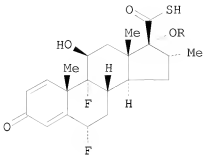


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 24 OF 39 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:633732 CAPLUS
DOCUMENT NUMBER: 139:180234
TITLE: Process for the preparation of 6α,9α-difluoro-17α-(1-oxopropoxy-11β-hydroxy-16α-methyl-3-oxo-androst-1,4-diene-17β-carbothioic acid
INVENTOR(S): Coote, Steven John; Nice, Rosalyn Kay; Wipperman, Mark David
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003066654	A1	20030814	WO 2003-EP1116	20030203
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2473753	A1	20030814	CA 2003-2473753	20030203
AU 2003206837	A1	20030902	AU 2003-206837	20030203
AU 2003206837	B2	20081113		
EP 1472271	A1	20041103	EP 2003-704542	20030203
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003007352	A	20041214	BR 2003-7352	20030203
CN 1628125	A	20050615	CN 2003-803269	20030203
JP 2005521680	T	20050721	JP 2003-566025	20030203
NZ 534044	A	20061027	NZ 2003-534044	20030203
RU 2333217	C2	20080910	RU 2004-121675	20030203
ZA 2004005515	A	20050712	ZA 2004-5515	20040712
IN 2004KN01042	A	20051230	IN 2004-KN1042	20040721
IN 212689	A1	20071214		
US 20050080065	A1	20050414	US 2004-502684	20040727
MX 2004007529	A	20041110	MX 2004-7529	20040804
NO 2004003665	A	20040901	NO 2004-3665	20040901
PRIORITY APPLN. INFO.:			GB 2002-2563 A	20020204
			WO 2003-EP1116 W	20030203

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 139:180234
GI



I

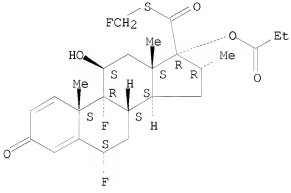
AB The present invention relates to a novel process for the synthesis of 6α,9α-difluoro-17α-(1-oxopropoxy)-11β-hydroxy-16α-methyl-3-oxo-androst-1,4-diene-17β-carbothioic acid [I; R = COC2H5 (II)] or a salt thereof, useful in the preparation of anti-inflammatory steroids. Thus, I (R = H), in N,N-dimethylformamide, was treated with propionyl chloride to afford II in 83.7% yield.

IT 80474-14-2P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of difluorooxopropoxyhydroxymethyl oxoandrostdienecarbothioic acid S-fluoromethyl ester from difluorodihydroxymethyl oxoandrostdienecarbothioic acid)

RN 80474-14-2 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.



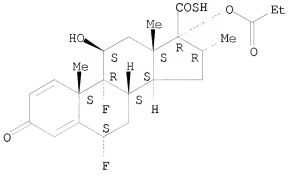
IT 80474-45-9P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of difluorooxopropoxyhydroxymethyl oxoandrostdienecarbothioic acid from difluorodihydroxymethyl oxoandrostdienecarbothioic acid)

RN 80474-45-9 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid,

6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

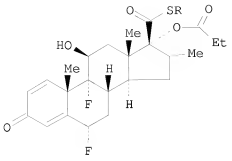
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 25 OF 39 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:633731 CAPLUS
DOCUMENT NUMBER: 139:180233
TITLE: Process for preparing fluticasone propionate
INVENTOR(S): Coote, Steven John; Nice, Rosalyn Kay; Wipperman, Mark David
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003066653	A2	20030814	WO 2003-EP1115	20030203
WO 2003066653	A3	20031224		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2473896	A1	20030814	CA 2003-2473896	20030203
AU 2003206836	A1	20030902	AU 2003-206836	20030203
AU 2003206836	B2	20090108		
EP 1474436	A2	20041110	EP 2003-704541	20030203
EP 1474436	B1	20091028		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003007243	A	20041214	BR 2003-7243	20030203
JP 2005517019	T	20050609	JP 2003-566024	20030203
CN 1642969	A	20050720	CN 2003-807437	20030203
CN 100338087	C	20070919		
NZ 534320	A	20070727	NZ 2003-534320	20030203
RU 2333218	C2	20080910	RU 2004-122928	20030203
AT 446965	T	20091115	AT 2003-704541	20030203
ZA 2004005826	A	20050811	ZA 2004-5826	20040721
IN 2004KN01049	A	20060519	IN 2004-KN1049	20040722
MX 2004007530	A	20041110	MX 2004-7530	20040804
NO 2004003664	A	20040901	NO 2004-3664	20040901
NO 327138	B1	20090504		
US 20050222107	A1	20051006	US 2005-502866	20050502

PRIORITY APPLN. INFO.: GB 2002-2564 A 20020204
WO 2003-EP1115 W 20030203

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 139:180233
GI



I

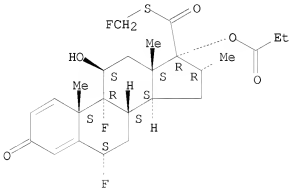
AB The present invention relates to a process for preparing fluticasone propionate [I; R = CH2F (II)] as crystalline polymorphic which comprises reacting I [R = H (III)] or a salt thereof with LCH2F (L = leaving group) optionally in the presence of a phase transfer catalyst, a water-immiscible non-solvating organic liquid solvent and water. Thus, 6α,9α-difluoro-11β,17α-dihydroxy-16α-methyl-3-oxo-androst-1,4-diene-17β-carbothioic acid was reacted with propionyl chloride to provide III which was treated with bromofluoromethane in presence of benyltributylammonium chloride and triethylamine using Et acetate as solvent and hexane as anti-solvent to afford II in 95.7% yield.

IT 80474-14-2P
RL: IMF (Industrial manufacture); PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation of fluticasone propionate from difluorodihydroxymethyl oxoandrostdienecarbothioic acid)

RN 80474-14-2 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6α,11β,16α,17α)- (CA INDEX NAME)

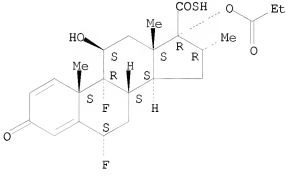
Absolute stereochemistry.



IT 80474-45-9P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of fluticasone propionate from difluorodihydroxymethyl

oxoandrostdienecarbothioic acid)
RN 80474-45-9 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid,
6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-,
(6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 26 OF 39 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:300611 CAPLUS
DOCUMENT NUMBER: 138:309306
TITLE: Formulation containing anti-inflammatory androstane derivatives
INVENTOR(S): Biggadike, Keith; Sayani, Amyn P.; Buxton, Ian; Reed, Kenton
PATENT ASSIGNEE(S): UK
SOURCE: U.S. Pat. Appl. Publ., 13 pp., Cont.-in-part of Ser. No. US 2001-958050, filed on 2 Oct 2001
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20030073676	A1	20030417	US 2002-66951	20020204
US 6787532	B2	20040907		
CA 2634715	A1	20020214	CA 2001-2634715	20010803
WO 2002012265	A1	20020214	WO 2001-GB3495	20010803
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
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CN 1680425	A	20051012	CN 2005-10053036	20010803
CN 1315867	C	20070516		
CN 1680423	A	20051012	CN 2005-10067026	20010803
CN 100513416	C	20090715		
TW 244486	B	20051201	TW 2001-90119066	20010803
EP 1775305	A2	20070418	EP 2007-101269	20010803
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EP 2067784	A2	20090610	EP 2008-20059	20010803
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CN 101654470	A	20100224	CN 2009-10142694	20010803
US 20030199485	A1	20031023	US 2001-958050	20011002
US 7101866	B2	20060905		
ZA 2003000929	A	20040503	ZA 2003-929	20030203
ZA 2003000932	A	20040503	ZA 2003-932	20030203
WO 2003066026	A1	20030814	WO 2003-GB469	20030204
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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WO 2003066033 A1 20030814 WO 2003-GB485 20030204
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AU 2003205850 A1 20030902 AU 2003-205850 20030204
AU 2003205855 A1 20030902 AU 2003-205855 20030204
EP 1471884 A1 20041103 EP 2003-702727 20030204
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
EP 1471895 A1 20041103 EP 2003-702732 20030204
EP 1471895 B1 20080528
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
JP 2005523267 T 20050804 JP 2003-565451 20030204
JP 2005523268 T 20050804 JP 2003-565457 20030204
EP 1757281 A2 20070228 EP 2006-124055 20030204
EP 1757281 A3 20090715
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PT, SE, SI, SK, TR, LT, LV, RO
AT 396716 T 20080615 AT 2003-702732 20030204
ES 2305438 T3 20081101 ES 2003-702732 20030204
US 20050026888 A1 20050203 US 2004-918770 20040813
US 7531528 B2 20090512
US 20050175545 A1 20050811 US 2005-503540 20050325
US 20050209206 A1 20050922 US 2005-503000 20050414
JP 2010077145 A 20100408 JP 2009-265248 20091120
PRIORITY APPLN. INFO.: GB 2000-19172 A 20000805
WO 2001-GB3495 A1 20010803
US 2001-958050 A2 20011002
GB 2001-8800 A 20010407
CA 2001-2417825 A3 20010803
CN 2001-816662 A3 20010803
CN 2001-816664 A3 20010803
EP 2001-953272 A3 20010803
EP 2001-954149 A3 20010803
JP 2002-518238 A3 20010803
US 2002-66951 A 20020204
US 2002-66961 A 20020204
EP 2003-702732 A3 20030204
WO 2003-GB469 W 20030204
WO 2003-GB485 W 20030204
OTHER SOURCE(S): MARPAT 138:309306

AB There is provided according to the invention a pharmaceutical formulation comprising an aqueous carrier liquid having dissolved therein (a) an ester of fluticasone or a solvate thereof as medicament and (b) a solubilizing agent for assisting the solubilization of the medicament in the aqueous carrier liquid For example, a formulation for intranasal delivery contained fluticasone propionate 0.05%, Triton X-1005 4%dextrose 4%, BKC 0.015%, EDTA 0.015%, and water to 100%. The solution obtained was filled into a

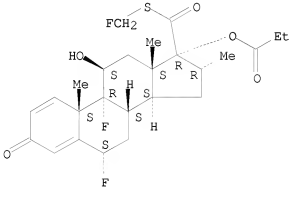
bottle fitted with a metering valve adapted to dispense 50-100 μl per actuation.

IT 80474-14-2P, Fluticasone propionate
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(solubilization of anti-inflammatory androstane derivs. for liquid formulations)

RN 80474-14-2 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.



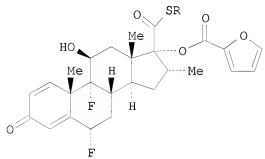
OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

REFERENCE COUNT: 162 THERE ARE 162 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 27 OF 39 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2003:132962 CAPLUS
DOCUMENT NUMBER: 138:170401
TITLE: A method for preparing fluticasone derivatives
INVENTOR(S): Partridge, John Joseph; Walker, Dwight Sherod
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003013427	A2	20030220	WO 2002-US24586	20020801
WO 2003013427	A3	20031016		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002321884	A1	20030224	AU 2002-321884	20020801
PRIORITY APPLN. INFO.:			US 2001-367341P P	20010803
			WO 2002-US24586 W	20020801

OTHER SOURCE(S): CASREACT 138:170401
GI



I

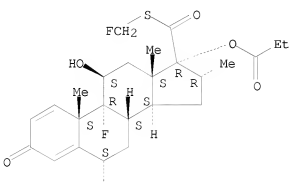
AB A method was developed for preparing 6α,9α-difluoro-17α-[(2-furanylcarbonyl)oxy]-11β-hydroxy-16α-methyl-3-oxoandrosta-1,4-diene-β-carbothioic acid S-fluoromethyl ester by reacting the thiocarboxylic acid with a solution containing chlorofluoromethane and a mild base medium at a temperature in the range of -20° C to 60° C. Thus, the thioacid furoate I (R = H) was treated with ClCH2F in DMF containing NaI and KHCO3 at -15° for 15m and then warmed to 15° ≥ 15m to give 82.6 % I (R = CH2F).
IT 80474-14-2P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(method for preparing fluticasone derivs.)

RN 80474-14-2 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.



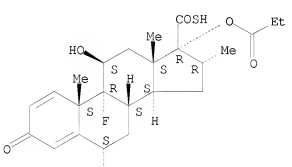
IT 80474-45-9

RL: RCT (Reactant); RACT (Reactant or reagent)
(method for preparing fluticasone derivs.)

RN 80474-45-9 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



OS.CITING REF COUNT:	6	THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
REFERENCE COUNT:	4	THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 28 OF 39 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2002:90061 CAPLUS
DOCUMENT NUMBER: 136:134954
TITLE: Preparation of the anti-inflammatory steroid intermediate 6α,9α-difluoro-11β,17α-dihydroxy-16α-methylandrosta-1,4-dien-3-one-17β-carboxylic acid via a novel oxidation process
INVENTOR(S): Albinson, Frederick David; Coote, Steven John; Robinson, John Malcolm
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008243	A1	20020131	WO 2001-GB3289	20010720
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2406963	A1	20020131	CA 2001-2406963	20010720
EP 1301526	A1	20030416	EP 2001-949791	20010720
EP 1301526	B1	20080618		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001010430	A	20030708	BR 2001-10430	20010720
HU 2003001108	A2	20030828	HU 2003-1108	20010720
HU 2003001108	A3	20080428		
JP 2004504403	T	20040212	JP 2002-514148	20010720
NZ 522083	A	20040625	NZ 2001-522083	20010720
AU 2001270906	B2	20051013	AU 2001-270906	20010720
CN 1315864	C	20070516	CN 2001-811440	20010720
AT 398628	T	20080715	AT 2001-949791	20010720
IL 152348	A	20080807	IL 2001-152348	20010720
ES 2307628	T3	20081201	ES 2001-949791	20010720
ZA 2002008372	A	20040211	ZA 2002-8372	20021017
IN 2002KN01303	A	20050311	IN 2002-KN1303	20021018
NO 2002005054	A	20021105	NO 2002-5054	20021021
NO 324836	B1	20071217		
KR 787293	B1	20071220	KR 2002-714367	20021025
MX 2002010967	A	20030327	MX 2002-10967	20021107
US 20040043974	A1	20040304	US 2003-333537	20030815
HK 1056179	A1	20090717	HK 2003-106680	20030917

PRIORITY APPLN. INFO.:			
		GB 2000-17988	A 20000721
		WO 2001-GB3289	W 20010720

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 136:134954

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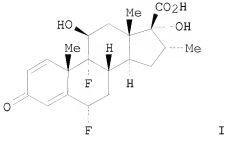


I

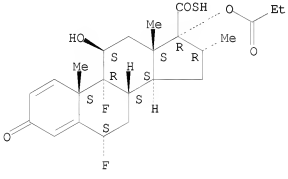
AB The present invention relates to a novel oxidation process for the synthesis of a known intermediate (I), useful in the preparation of anti-inflammatory steroids. Thus, flumethasone in THF was treated with an aqueous solution of periodic acid to give I in 98% yield.

IT 80474-45-9P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of difluorodihydroxymethyl androstadienonecarboxylic acid via a novel oxidation process)

RN 80474-45-9 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

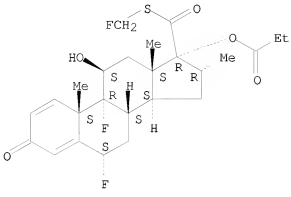


IT 80474-14-2P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of difluorodihydroxymethyl androstadienonecarboxylic acid via a novel oxidation process)

RN 80474-14-2 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.

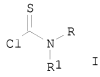


OS.CITING REF COUNT:	4	THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT:	8	THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 29 OF 39 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2001:636040 CAPLUS
DOCUMENT NUMBER: 135:211173
TITLE: Method for the preparation of fluticasone and related 17β-carbothioic esters using a novel carbothioic acid synthesis and novel purification methods
INVENTOR(S): Barkalow, Jufang; Chamberlin, Steven A.; Cooper, Arthur J.; Hossain, Azad; Hufnagel, John J.; Langridge, Denton C.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001062722	A2	20010830	WO 2001-US6055	20010223
WO 2001062722	A3	20020516		
W: CA, JP, MX				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
US 20020133032	A1	20020919	US 2000-513399	20000225
CA 2400919	A1	20010830	CA 2001-2400919	20010223
CA 2400919	C	20090120		
EP 1257531	A2	20021120	EP 2001-916231	20010223
EP 1257531	B1	20040915		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
JP 2003529564	T	20031007	JP 2001-561731	20010223
JP 3986313	B2	20071003		
AT 276235	T	20041015	AT 2001-916231	20010223
PT 1257531	E	20050131	PT 2001-916231	20010223
ES 2228832	T3	20050416	ES 2001-916231	20010223
CN 1381444	A	20021127	CN 2001-119671	20010419
IN 2001MU00632	A	20050819	IN 2001-MU632	20010706
MX 2002008275	A	20030128	MX 2002-8275	20020823
US 20040209854	A1	20041021	US 2004-847846	20040518
US 7214807	B2	20070508		

PRIORITY APPLN. INFO.: US 2000-513399 A 20000225
WO 2001-US6055 W 20010223
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 135:211173; MARPAT 135:211173
GI



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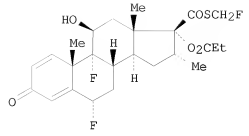


II

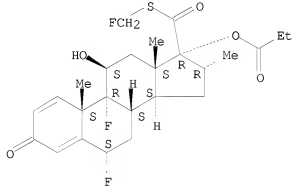
AB A method for converting a carboxylic acid to a carbothioic acid group I (R and Rl independently are C1-6 alkyl or R and Rl independently are C1-6 alkylene) was accomplished. This method was used for the conversion of carboxylic acids to carbothioic acids, and for both the preparation of androstane 17β-carbothioic acids and fluticasone propionate which avoided the use of column chromatog. Thus II was prepared from flumethasone reacted in Pd(II) acetate and PPh3 in DMA yielding the 17β-carboxylic acid which was treated with propionyl chloride followed by N,N-dimethylthiocarbamoyl chloride and then chlorofluoromethane yielding II in 70%.

IT 80474-14-2P, Fluticasone propionate 80474-45-9DP, salts
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of androstane 17β-carbothioic esters)

RN 80474-14-2 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6α,11β,16α,17α)- (CA INDEX NAME)

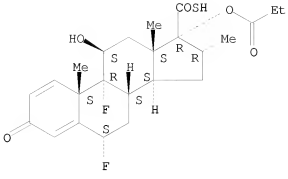
Absolute stereochemistry.



RN 80474-45-9 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

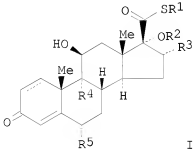


OS.CITING REF COUNT:	13	THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)
REFERENCE COUNT:	1	THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 30 OF 39 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1998:706263 CAPLUS
DOCUMENT NUMBER: 129:276096
ORIGINAL REFERENCE NO.: 129:56305a
TITLE: Process for the manufacture of androstane-17-carbothioates via esterification with halofluoromethanes
INVENTOR(S): Cherkez, Stephen
PATENT ASSIGNEE(S): Chemagis Ltd., Israel
SOURCE: Israeli, 15 pp.
CODEN: ISXXAQ
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IL 109656	A	19980222	IL 1994-109656	19940515
IN 185691	A1	20010407	IN 1994-DE1716	19941230
PRIORITY APPLN. INFO.:			IL 1994-109656 A	19940515

OTHER SOURCE(S): CASREACT 129:276096; MARPAT 129:276096
GI

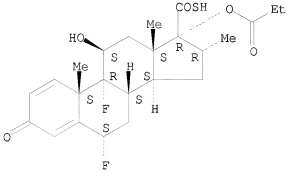


I

AB A process for the preparation of an androstane-17-carbothioic ester I [R1 = fluoromethyl, difluoromethyl, trifluoromethyl; R2 = COR6; R6 = C1-3-alkyl; R3 = H, α-Me, β-Me, methylene; R = H, Cl, F; R5 = H, F; dotted line = single or double bond] by the direct esterification of a corresponding androstane-17-carbothioic acid I [R1 = H] with a halofluoromethane of formula XCH2F, XCHF2 or XCF3 [X = Br, Cl] and optionally in the presence of a catalyst is claimed. Thus, fluticasone propionate (I; R1 = CH2F, R2 = COEt, R3 = Me, R4 = R5 = Me, dashed line = double bond) was prepared via esterification of I (R1 = H, R2 = COEt, R3 = Me, R4 = R5 = Me, dashed line = double bond) with BrCH2F in THF containing potassium tert-butoxide and catalytic Bu4NBr.
IT 80474-45-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of androstane-17-carbothioates via esterification with halofluoromethanes)
RN 80474-45-9 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-,

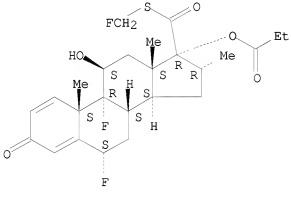
(6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 80474-14-2P, Fluticasone propionate
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of androstane-17-carbothioates via esterification with halofluoromethanes)
RN 80474-14-2 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6α,11β,16α,17α)- (CA INDEX NAME)

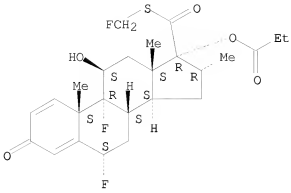
Absolute stereochemistry.



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

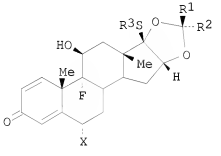
L38 ANSWER 31 OF 39 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1997:454923 CAPLUS
DOCUMENT NUMBER: 127:95446
ORIGINAL REFERENCE NO.: 127:18381a,18384a
TITLE: Automated radiosynthesis of no-carrier-added [S-fluoromethyl-18F]fluticasone propionate as a radiotracer for lung deposition studies with PET
AUTHOR(S): Aigbirhio, Franklin I.; Carr, Richard M.; Pike, Victor W.; Steel, Colin J.; Sutherland, Derek R.
CORPORATE SOURCE: Chemistry and Engineering Group, MRC Cyclotron Unit, Royal Postgraduate Medical School, Hammersmith Hospital, London, W12 0NN, UK
SOURCE: Journal of Labelled Compounds & Radiopharmaceuticals (1997), 39(7), 567-584
CODEN: JLCRD4; ISSN: 0362-4803
PUBLISHER: Wiley
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Fluticasone propionate [(S)-fluoromethyl-6α,9α-difluoro-11β-hydroxy-16α-methyl-3-oxo-17α-(propionyloxy)-androsta-1,4-diene-17β-carbothioate: FP] is a potent anti-inflammatory steroid with several therapeutic indications, including use as an anti-asthmatic drug when administered as sized particles by inhalation from a pressurized metered-dose inhaler (pMDI). FP was successfully labeled with fluorine-18 (t1/2 = 109.6 min; β+ = 100%) by displacement of tosylate with cyclotron-produced no-carrier-added [18F]fluoride in an (S)-tosylmethyl precursor prepared from the known (S)-chloromethyl analog of FP. Radiochem. pure [S-fluoromethyl-18F]FP was separated by reverse phase HPLC in 35% radiochem. yield (decay-corrected) within 80 min from the end of radionuclide production (as verified by, radio-HPLC, LC-MS and LC-NMR). The radiosynthesis was automated for the safe production of high radioactivities (20-50 mCi) of [18F]FP in a lead-shielded hot-cell for subsequent incorporation into formulated FP particles within a pMDI and subsequent study of FP deposition in human lung using positron emission tomog. (PET).
IT 80474-14-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(automated radiosynthesis of no carrier added [S-fluoromethyl-18F]fluticasone propionate)
RN 80474-14-2 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT:	13	THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)
REFERENCE COUNT:	24	THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 32 OF 39 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1996:681432 CAPLUS
DOCUMENT NUMBER: 126:19098
ORIGINAL REFERENCE NO.: 126:3969a,3972a
TITLE: Anti-inflammatory 17β-Thioalkyl-16α,17α-ketal and -acetal Androstanes: A New Class of Airway Selective Steroids for the Treatment of Asthma
AUTHOR(S): Ashton, Michael J.; Lawrence, Christopher; Karlsson, Jan-Anders; Stuttle, Keith A. J.; Newton, Christopher G.; Vacher, Bernard Y. J.; Webber, Stephen; Withnall, Michael J.
CORPORATE SOURCE: Dagenham Research Centre, Rhone-Poulenc Rorer Central Research, Dagenham/Essex, RM10 7XS, UK
SOURCE: Journal of Medicinal Chemistry (1996), 39(25), 4888-4896
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 126:19098
GI

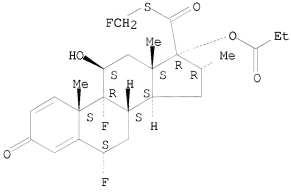


I

AB The synthesis and anti-inflammatory potencies of a new class of 17β-thioalkyl-16α,17α-ketal and -acetal androstanes, e.g. I [R1 - R3 = Me, X = H, F; R1 = H, R2 = Pr, R3 = Me, X = H, F; R1 = X = H, R2 = Pr, R3 = Et, CHMe2; R1 = X = H, R2 = (E)-CH:CHMe, R3 = Me], are described. This new class of steroids was made by fragmentation of 2-thioxo-1,2-dihydropyrid-1-yl esters of the corresponding 17-acids to the 17-radical. The radical generated was trapped using a variety of radicophilic disulfides, giving a steroidal D-ring having acetal or ketal functionality at C-16 and C-17, together with a sulfide link at C-17. Compds. from this series bind to the glucocorticoid receptor with high potency and are functional agonists as measured by their ability to induce tyrosine aminotransferase activity in a rat hepatic cell line in vitro. These 17β-thioalkyl androstanes potently inhibit Sephadex-induced rat lung inflammation when administered directly into the airways. The high topical potency, together with a low propensity to induce systemic glucocorticoid-like side effects (rat thymus involution), provides the present compds. with a high degree of airway selectivity compared with currently available inhaled glucocorticoids. The presently described 17β-thioalkyl-16α,17α-ketal androstanes may be useful for therapies for inflammatory diseases such as asthma.

IT 80474-14-2DP, Fluticasone propionate, analogs
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PNU (Preparation, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and antiinflammatory potencies of thioalkylandrostanediol ketals and acetals)
RN 80474-14-2 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.



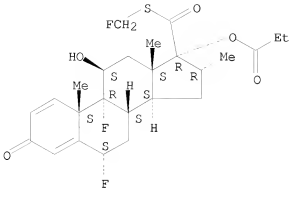
OS.CITING REF COUNT: 27 THERE ARE 27 CAPLUS RECORDS THAT CITE THIS RECORD (27 CITINGS)
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 33 OF 39 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1995:64934 CAPLUS
DOCUMENT NUMBER: 122:81726
ORIGINAL REFERENCE NO.: 122:15539a,15542a
TITLE: Synthesis and Structure-Activity Relationships in a Series of Antiinflammatory Corticosteroid Analogs, Halomethyl Androstane-17β-carbothioates and -17β-carboselenoates
AUTHOR(S): Phillipps, Gordon H.; Bailey, Esme J.; Bain, Brian M.; Borella, Raymond A.; Buckton, Jacky B.; Clark, John C.; Doherty, Alice E.; English, Alan F.; Fazakerley, Harold; et al.
CORPORATE SOURCE: Glaxo Research and Development Limited, Greenford/Middlesex, UB6 OHE, UK
SOURCE: Journal of Medicinal Chemistry (1994), 37(22), 3717-29
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The preparation and topical antiinflammatory potencies of a series of halomethyl 17α-(acyloxy)-11β-hydroxy-3-oxoandrosta-1,4-diene-17β-carbothioates, carrying combinations of 6α-fluoro, 9α-fluoro, 16-Me, and 16-methylene substituents, are described. Key synthetic stages were the preparation of carbothioic acids and their reaction with dihalomethanes. The carbothioic acids were formed from 17β-carboxylic acids by initial reaction with dimethylthiocarbamoyl chloride followed by aminolysis of the resulting rearranged mixed anhydride with diethylamine, or by carboxyl activation with 1,1'-carbonyldiimidazole (CDI) or 2-fluoro-N-methylpyridiniumtosylate (FMPT) and reaction with hydrogen sulfide, the choice of reagent being governed by the 17α-substituent. Carboxyl activation with FMPT and reaction with sodium hydrogen selenide led to the halomethyl 16-methyleneandrostane-17β-carboselenoate analogs. Antiinflammatory potencies were measured in humans using the vasoconstriction assay and in rats and mice by a modification the Tonelli croton oil ear assay. Best activities were shown by fluoromethyl and chloromethyl carbothioates with a 17α-propionyloxy group. S-Fluoromethyl 6α,9α-difluoro-11β-hydroxy-16α-methyl-3-oxo-17α-(propionyloxy)androsta-1,4-diene-17β-carbothioate (fluticasone propionate, FP) was selected for clin. study as it showed high topical antiinflammatory activity but caused little hypothalamic-pituitary-adrenal suppression after topical or oral administration to rodents.

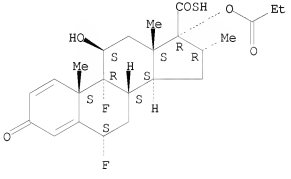
IT 80474-14-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and structure-activity relationships in a series of antiinflammatory corticosteroid analogs and halomethyl androstane-17β-carbothioates and -17β-carboselenoates)
RN 80474-14-2 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.



IT 80474-45-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and structure-activity relationships in a series of antiinflammatory corticosteroid analogs and halomethyl androstane-17β-carbothioates and -17β-carboselenoates)
RN 80474-45-9 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



OS.CITING REF COUNT: 32 THERE ARE 32 CAPLUS RECORDS THAT CITE THIS RECORD (32 CITINGS)

L38 ANSWER 34 OF 39 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1992:503834 CAPLUS
DOCUMENT NUMBER: 117:103834
ORIGINAL REFERENCE NO.: 117:17853a,17856a
TITLE: Antigenicity studies on fluticasone propionate
AUTHOR(S): Takeda, Kenzo; Fukuda, Ichiro; Nagamichi, Tameichiro; Morida, Ken; Tomida, Mitsuyo; Okumura, Kazuo
CORPORATE SOURCE: Tsukuba Res. Lab., Nippon Glaxo Ltd., Japan
SOURCE: Yakuri to Chiryo (1973-2000) (1992), 20(5), 1657-68
CODEN: YACHDS; ISSN: 0386-3603
DOCUMENT TYPE: Journal
LANGUAGE: Japanese

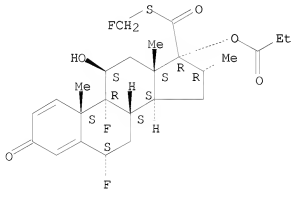
AB Fluticasone propionate (FP) is a synthetic steroid used in the treatment of allergic nose and bronchial asthma. The antigenicity studies on FP and its protein conjugates (with cytochrome c and human serum albumin) in guinea pigs and rabbits were performed by active systemic anaphylaxis (ASA) test, homologous passive cutaneous anaphylaxis (PCA) test, and hemagglutination (HA) test. The results showed that FP and its protein conjugates had no antigenic activity under the exptl. conditions.

IT 80474-14-2DP, Fluticasone propionate, conjugates with cytochrome c and human serum albumin
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antigenicity of)

RN 80474-14-2 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.



L38 ANSWER 35 OF 39 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1986:406673 CAPLUS
DOCUMENT NUMBER: 105:6673
ORIGINAL REFERENCE NO.: 105:1245a,1248a
TITLE: Thiol esters from steroid 17β-carboxylic acids: carboxylate activation and internal participation by 17α-acylates
AUTHOR(S): Kertesz, Denis J.; Marx, Michael
CORPORATE SOURCE: Syntex Res., Palo Alto, CA, 94304, USA
SOURCE: Journal of Organic Chemistry (1986), 51(12), 2315-28
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 105:6673
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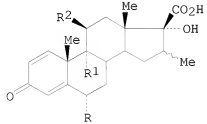

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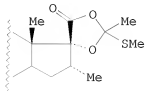
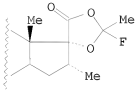
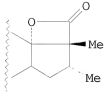


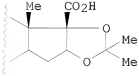
II



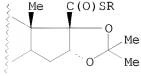
IV



V



VI



VII

AB Pregnene-17β-carboxylic acids, e.g., I (R, R1, R2 = F, F, HO; H, F, HO; F, Cl, Cl) derived from 16,17α-disubstituted corticosteroids were converted into thiol esters. Major quantities of spiro byproducts e.g. II (R = R1 = F, R2 = HO) were observed in the reaction of 16-methyl-17α-acyloxy acids, and the degree of 17-ester participation leading to these structures was dependent on the carboxylate activating group used and stereochem. at C-16. Di-Et phosphate mixed anhydrides of these acids reacted with mercaptide salts to give mixts. of thiol esters with spiro acylthio ortho esters, which predominated and were particularly stable in the case of 16β-Me substrates; in addition, considerable reversion of 16α-Me phosphate intermediates to starting acid was experienced. The use of di-Ph chlorophosphate as the activating

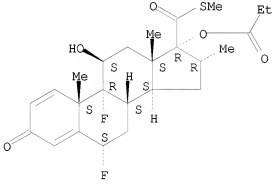
agent greatly improved yields of thiol esters. Methanolysis of the phosphate adducts derived from 17α-acyloxy acids gave spiro acyl ortho esters as the exclusive products. The reactions of 17α-acetoxy acids with 2-fluoro-N-methylpyridinium tosylate (III) gave novel spiro acyl fluoro ketals, e.g., IV (R = R1 = F; R2 = HO) whereas similar treatment of 17-hydroxy acids led to products of dehydration or of 18-Me migration, e.g., lactone V. Activation was carbonyldiimidazole followed by addition of mercaptans allowed the preparation of thiol ester products from 17-hydroxy acids, but the method was restricted to use with these substrates. Neighboring-group participation was not possible for the 16,17-acetonide acid VI, and activation with either chlorophosphate diesters or III followed by reaction with MeS- gave high yields of methylthio ester VII.

IT 73205-13-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 73205-13-7 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-methyl ester, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.



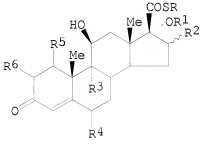
OS.CITING REF COUNT: 19 THERE ARE 19 CAPLUS RECORDS THAT CITE THIS RECORD (19 CITINGS)

L38 ANSWER 36 OF 39 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1982:163044 CAPLUS
DOCUMENT NUMBER: 96:163044
ORIGINAL REFERENCE NO.: 96:26859a,26862a
TITLE: Androstane carbothioates
PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
SOURCE: Neth. Appl., 63 pp.
CODEN: NAXXAN
DOCUMENT TYPE: Patent
LANGUAGE: Dutch
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 8100707	A	19810916	NL 1981-707	19810213
NL 191792	B	19960401		
NL 191792	C	19960802		
BE 887518	A1	19810813	BE 1981-203794	19810213
DK 8100623	A	19810816	DK 1981-623	19810213
DK 147022	B	19840319		
DK 147022	C	19840827		
FI 8100444	A	19810816	FI 1981-444	19810213
FI 70904	B	19860718		
FI 70904	C	19861027		
SE 8101010	A	19810816	SE 1981-1010	19810213
SE 452468	B	19871130		
SE 452468	C	19880310		
AU 8167298	A	19810820	AU 1981-67298	19810213
AU 544517	B2	19850606		
FR 2477156	A1	19810904	FR 1981-2818	19810213
FR 2477156	B1	19841116		
JP 56138200	A	19811028	JP 1981-20790	19810213
JP 63037120	B	19880722		
DE 3105307	A1	19811210	DE 1981-3105307	19810213
DE 3105307	C2	19880929		
US 4335121	A	19820615	US 1981-234113	19810213
GB 2088877	A	19820616	GB 1981-4496	19810213
GB 2088877	B	19840704		
ZA 8100976	A	19820728	ZA 1981-976	19810213
CH 644615	A5	19840815	CH 1981-982	19810213
CH 651307	A5	19850913	CH 1984-3890	19810213
AT 8100674	A	19920515	AT 1981-674	19810213
AT 395427	B	19921228		
DE 3153379	C2	19921119	DE 1981-3153379	19810213
FR 2485542	A1	19811231	FR 1981-15812	19810817
FR 2485542	B1	19830610		
US 4578221	A	19860325	US 1983-513396	19830714
GB 2137206	A	19841003	GB 1983-25400	19830922
GB 2137206	B	19850403		
AT 8400170	A	19920515	AT 1984-170	19840119
AT 395428	B	19921228		
US 4650610	A	19870317	US 1985-753428	19850710
AT 8602031	A	19920515	AT 1986-2031	19860728
AT 395429	B	19921228		
AT 9100344	A	19960215	AT 1991-344	19910219
AT 401521	B	19960925		

SK 278140	B6	19960207	SK 1991-4034		19911223
CZ 281275	B6	19960814	CZ 1991-4034		19911223
PRIORITY APPLN. INFO.:			GB 1980-5174	A	19800215
			GB 1980-13339	A	19800423
			AT 1981-674	A	19810213
			CH 1981-982	A	19810213
			GB 1981-4496	A3	19810213
			US 1981-256845	A1	19810423
			US 1982-408837	A1	19820817
			US 1983-513396	A1	19830714

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 96:163044; MARPAT 96:163044
GI



I

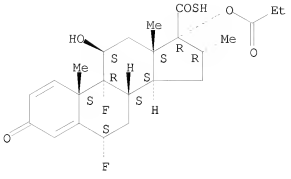
AB Antiinflammatory (no data) androstanes I (R = CH2F, CH2Cl, CH2Br, CH2CH2F; R1 = acyl; R1R2 = CH2O; R2 = H, α- or β-Me, R7 = H; R2R7 = CH2; R3 = H, Cl, F; R4 = H, F; R5 = R6 = H; R5R6 = bond) were prepared Thus, I (R = CH2Cl, R1 = COEt, R2 = β-Me, R3 = F, R4 = H, R5R6 = bond, R7 = H) was prepared by treating the corresponding 17-carboxylic acid with Me2NCSCl, hydrolyzing to the 17-thiocarboxylic acid, and esterifying with BrCH2Cl.

IT 80474-45-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and esterification of)

RN 80474-45-9 CAPLUS

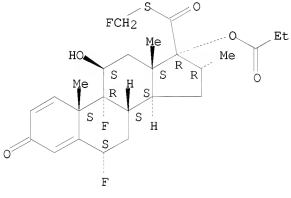
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 80474-14-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 80474-14-2 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid,
6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-,
S-(fluoromethyl) ester, (6α,11β,16α,17α)- (CA
INDEX NAME)

Absolute stereochemistry.



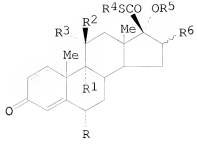
OS.CITING REF COUNT: 29 THERE ARE 29 CAPLUS RECORDS THAT CITE THIS RECORD (31 CITINGS)

L38 ANSWER 37 OF 39 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1980:447002 CAPLUS
DOCUMENT NUMBER: 93:47002
ORIGINAL REFERENCE NO.: 93:7791a,7794a
TITLE: Thioetianic acid derivatives
INVENTOR(S): Edwards, John A.
PATENT ASSIGNEE(S): Syntex (U.S.A.), Inc., USA
SOURCE: Brit. UK Pat. Appl., 14 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2018256	A	19791017	GB 1979-10862	19790328
GB 2018256	B	19830202		
US 4188385	A	19800212	US 1978-893388	19780405
EP 4741	A2	19791017	EP 1979-300500	19790328
EP 4741	A3	19791114		
EP 4741	B1	19810128		
R: BE, CH, DE, FR, GB, IT, NL, SE				
DE 2912331	A1	19791018	DE 1979-2912331	19790328
FR 2421912	A1	19791102	FR 1979-7823	19790328
FR 2421912	B1	19810320		
AU 7945583	A	19791018	AU 1979-45583	19790329
AU 526025	B2	19821216		
CS 203956	B2	19810331	CS 1979-2107	19790329
IL 56972	A	19820131	IL 1979-56972	19790329
CA 1134345	A1	19821026	CA 1979-324557	19790330
FI 7901081	A	19791006	FI 1979-1081	19790402
FI 66393	B	19840629		
FI 66393	C	19841010		
DK 7901364	A	19791006	DK 1979-1365	19790403
DK 147735	B	19841126		
DK 147735	C	19850819		
HU 21686	A2	19820128	HU 1979-SI1682	19790403
HU 179314	B	19820928		
NO 7901140	A	19791008	NO 1979-1140	19790404
NO 152935	B	19850909		
NO 152935	C	19851218		
AT 7902519	A	19820115	AT 1979-2519	19790404
AT 368168	B	19820927		
PL 121469	B1	19820531	PL 1979-214676	19790404
SU 1052161	A3	19831030	SU 1979-2787402	19790404
JP 54141758	A	19791105	JP 1979-40414	19790405
JP 61001038	B	19860113		
ZA 7901635	A	19801126	ZA 1979-1635	19790405
JP 60069019	A	19850419	JP 1984-155726	19840727
JP 61040648	B	19860910		

PRIORITY APPLN. INFO.: US 1978-893388 A 19780405
US 1978-893390 A 19780405

GI



I

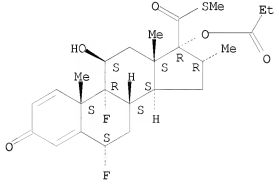
AB Steroids I (R = H, F, Cl; R1 = H, F, Cl, Br; R2R3 = O; R2 = OH, Cl, R3 = H; R4 = C1-6 alkyl, Ph or PhCH2 optionally substituted on the ring by C1-4 alkyl, C1-4 alkoxy, halo; R5 = H, C2-6 alkanoyl; R6 = H, α-Me, β-Me, OR5R6 = 16α,17α-isopropylidenedioxy), useful as inflammation inhibitors, were prepared from the corresponding 17β-carboxylic acids or their reactive derivs. by treatment with alkali metal salts of R4SH. Thus, Me 6α,9α-difluoro-11β-hydroxy-16α-methyl-3-oxo-17α-propionyloxyandrosta-1,4-diene-17β-thiocarboxylate (II) was prepared from flumethasone by sequential treatment with K2CO3/MeOH/air (room temperature, 1 atm, 22 h), (EtCO)2O, (EtO)2P(O)Cl, and MeSH/NaH. The topical antiinflammatory activity of II was assessed in humans by vasoconstriction assay; potency was excellent with little or no systemic activity.

IT 73205-13-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as inflammation inhibitor)

RN 73205-13-7 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-methyl ester, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.

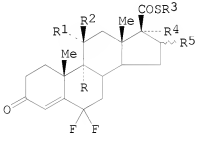


OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)

L38 ANSWER 38 OF 39 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1980:426654 CAPLUS
DOCUMENT NUMBER: 93:26654
ORIGINAL REFERENCE NO.: 93:4485a,4488a
TITLE: 17β-Thiocarboxylic acid esters of 6α,6β-difluoro-3-oxoandrost-4-enes
INVENTOR(S): Edwards, John A.
PATENT ASSIGNEE(S): Syntex (U.S.A.), Inc., USA
SOURCE: U.S., 18 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4187301	A	19800205	US 1978-893389	19780405
PRIORITY APPLN. INFO.:			US 1978-893389	19780405

OTHER SOURCE(S): MARPAT 93:26654
GI



I

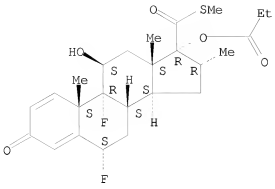
AB Antiinflammatory (no data) androstenethiocarboxylates I [R = H, F, Cl, Br; R1R2 = O, H,OH, H,Cl; R3 = C1-6 alkyl, Ph, PhCH2 (Ph substituted by C1-4 alkyl, C1-4 alkoxy, halo); R4 = OH, C2-6 alkanoyloxy; R5 = H, α-Me, β-Me; R4R5 = OCMe2O] and 1,2-didehydro derivs. of I were prepared Thus, oxidation of flumethasone followed by conversion to its mixed anhydride by the addition of (EtO)2P(O)Cl and then reaction with NaH-Me2S gave Me 6α,9-difluoro-11β-hydroxy-16α-methyl-3-oxo-17α-(propionyloxy)androsta-1,4-diene-17β-thiocarboxylate.

IT 73205-13-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and methylation of)

RN 73205-13-7 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-methyl ester, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.

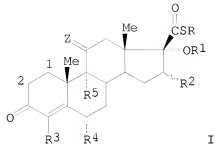


OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

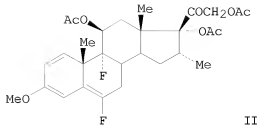
L38 ANSWER 39 OF 39 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1980:147047 CAPLUS
DOCUMENT NUMBER: 92:147047
ORIGINAL REFERENCE NO.: 92:23913a,23916a
TITLE: 17β-Thiocarboxylic acid esters of 4-halo-3-oxoandrost-4-enes
INVENTOR(S): Alvarez, Francisco S.
PATENT ASSIGNEE(S): Syntex (U.S.A.), Inc., USA
SOURCE: Eur. Pat. Appl., 60 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 4773	A2	19791017	EP 1979-300549	19790403
EP 4773	A3	19791031		
EP 4773	B1	19810429		
R: BE, CH, DE, FR, GB, NL, SE				
US 4198403	A	19800415	US 1978-893390	19780405
FI 7901088	A	19791006	FI 1979-1088	19790402
IL 56991	A	19820131	IL 1979-56991	19790402
DK 7901364	A	19791006	DK 1979-1365	19790403
DK 147735	B	19841126		
DK 147735	C	19850819		
AU 7945752	A	19791011	AU 1979-45752	19790403
NO 7901141	A	19791008	NO 1979-1141	19790404
PL 118567	B1	19811031	PL 1979-214675	19790404
CS 209916	B2	19811231	CS 1979-2306	19790404
CS 209919	B2	19811231	CS 1979-7273	19790404
AT 7902518	A	19820415	AT 1979-2518	19790404
PL 121569	B1	19820531	PL 1979-222926	19790404
JP 54135761	A	19791022	JP 1979-40413	19790405
ZA 7901638	A	19801126	ZA 1979-1638	19790405
PRIORITY APPLN. INFO.:			US 1978-893390	19780405
			US 1978-893388 A	19780405

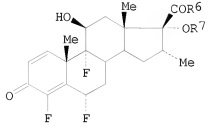
OTHER SOURCE(S): MARPAT 92:147047
GI



I



II



III

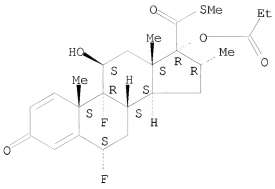
AB Antiinflammatory androstenethiocarboxylates I (R = C1-6 alkyl, alkyl-alkoxy- halo-substituted Ph or PhCH2; R1 = H, C2-6 alkanoyl; R2 = H, Me; R1,OR2 = isopropylidenedioxy; R3 = F, Cl, Br; R4 = H, Cl, F; R5 = H, F, Cl, Br; Z = O, H,OH, H,Cl) and their 1,2-didehydro derivs. were prepared Thus, flumethasone was acetylated and then underwent enolization-methylation to give the methoxypregnatrienone II, which was fluorinated by FClO3, hydrolyzed, and then oxidized by O in MeOH containing K2CO3 to give androstadienecarboxylic acid III (R6 = HO; R7 = H). The latter was acylated by (EtCO)2O and then successively treated with (EtO)2P(O)Cl and MeSNa to give III (R6 = SMe; R7 = COEt) (IV). IV had antiinflammatory activity 0.15 times that of fluocinolone but with little thymolytic activity.

IT 73205-13-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and enolization-methylation of)

RN 73205-13-7 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-methyl ester, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.

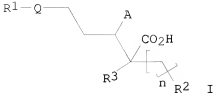


OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)

L41 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:252506 CAPLUS
DOCUMENT NUMBER: 148:308571
TITLE: Preparation of uronic acid derivatives as metalloproteinase inhibitors
INVENTOR(S): Sattigeri, Viswajanani J.; Palle, Venkata P.; Khera, Manoj Kumar; Reddy, Ranadheer; Tiwari, Manoj Kumar; Soni, Ajay; Abdul Rauf, Abdul Rehman; Joseph, Sony; Musib, Arpita; Dastidar, Sunanda G.; Srivastava, Punit Kumar
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 183 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008023336	A2	20080228	WO 2007-IB53340	20070821
WO 2008023336	A3	20080424		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
AU 2007287230	A1	20080228	AU 2007-287230	20070821
CA 2661299	A1	20080228	CA 2007-2661299	20070821
EP 2074093	A2	20090701	EP 2007-826082	20070821
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
JP 2010501545	T	20100121	JP 2009-525162	20070821
MX 2009001963	A	20090330	MX 2009-1963	20090220
IN 2009DN01499	A	20090619	IN 2009-DN1499	20090304
NO 2009001169	A	20090518	NO 2009-1169	20090319
KR 2009053922	A	20090528	KR 2009-705737	20090320
CN 101528691	A	20090909	CN 2007-80038726	20090417
US 20100081610	A1	20100401	US 2009-438182	20091009

PRIORITY APPLN. INFO.: IN 2006-DE1880 A 20060822
WO 2007-IB53340 W 20070821
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 148:308571; MARPAT 148:308571
GI



I

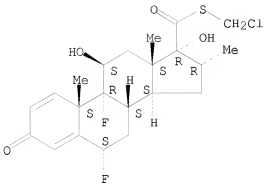
AB The present invention relates to β-hydroxy and amino substituted carboxylic acids I, wherein n is an integer from 1 to 5; R1 is H, optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heterocyclyl, heteroaryl, aralkyl, alkoxy, aryloxy, alkenyl-oxy or alkynyl-oxy; R2 is heterocyclyl, heteroaryl, NR4R5, -NHC(=Y)R4, -NHC(=Y)NR5Rx, -NHC(O)OR4, -NHSO4R C(=Y)NR4R5, C(O)OR6, wherein: Y is O or S, OR5, -OC(O)NR4R5, O-acyl, S(O)mR4, -SO2N(R4)2, cyanoamidino or guanidine; Rx is R4 or -SON(R4)2; R6 is H, alkyl, cycloalkyl, aralkyl, heteroaryl-alkyl, heterocyclyl-alkyl or cycloalkyl-alkyl, wherein: R4 is alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heterocyclyl, heteroaryl, aralkyl, heteroaryl-alkyl, heterocyclyl-alkyl or cycloalkyl-alkyl; and m is an integer 0-2; R5 is H or R4; R3 is H, fluorine, alkyl, cycloalkyl-alkyl or aralkyl; A is OH, OR4, -OC(O)NR4R5, O-acyl, NH, NR4R5, -NHC(=Y)R4, -NHC(=Y)NR5Rx, -NHC(O)OR4, -NHSO2R4; Q is optionally substituted aryl or heteroaryl, which act as matrix metalloprotease inhibitors, particularly diastereomerically pure β-hydroxy carboxylic acids, corresponding processes for the synthesis of and pharmaceutical compns. containing the compds. of the present invention. Compds. of the present invention are useful in the treatment of various inflammatory, autoimmune and allergic diseases, such as methods of treating asthma, rheumatoid arthritis, COPD, rhinitis, osteoarthritis, psoriatic arthritis, psoriasis, pulmonary fibrosis, wound healing disorders, pulmonary inflammation, acute respiratory distress syndrome, perodontitis, multiple sclerosis, gingivitis, atherosclerosis, neointimal proliferation, which leads to restenosis and ischemic heart failure, stroke, renal diseases, tumor metastasis, and other inflammatory disorders characterized by the over-expression and over- activation of a matrix metalloproteinase using the compds. Thus, (2S,3R)-3-hydroxy-2-[2-(4-oxo-1,2,3-benzotriazin-3(4H)-yl)ethyl]-5-(4-pyrimidin-5-yl-phenyl)pentanoic acid was prepared and tested in rats as metalloproteinase inhibitor. Pharmacokinetic screening assays for Matrix Metallo Proteinase (MMP 9/12) inhibitors, are reported. Compds. of the present invention can be selective over MMP-1 by > 100 fold.

IT 87556-66-9, Cloticasone 90566-53-3, Fluticasone
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of uronic acid derivs. as metalloproteinase inhibitors)

RN 87556-66-9 CAPLUS

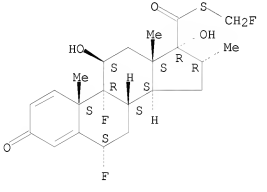
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11,17-dihydroxy-16-methyl-3-oxo-, S-(chloromethyl) ester, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.



RN 90566-53-3 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid,
6,9-difluoro-11,17-dihydroxy-16-methyl-3-oxo-, S-(fluoromethyl) ester,
(6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.



IT 25952-53-8, EDCI
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of uronic acid derivs. as metalloproteinase inhibitors)
RN 25952-53-8 CAPLUS
CN 1,3-Propanediamine, N3-(ethylcarbonimidoyl)-N1,N1-dimethyl-, hydrochloride
(1:1) (CA INDEX NAME)

L41 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:857616 CAPLUS
DOCUMENT NUMBER: 141:332364
TITLE: Process for the preparation of steroidal carbothioic acid derivatives and intermediates
INVENTOR(S): Loevli, Trond; Nygaard, Anne-mette; Reitstoen, Bjoern; Fivelstad, Magny
PATENT ASSIGNEE(S): Alpharma Aps, Den.
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087731	A1	20041014	WO 2004-DK242	20040402
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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EP 1466920	A1	20041013	EP 2003-7756	20030404
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AU 2004226318	A1	20041014	AU 2004-226318	20040402
AU 2004226318	B2	20080605		
CA 2530680	A1	20041014	CA 2004-2530680	20040402
EP 1611149	A1	20060104	EP 2004-725301	20040402
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2006522028	T	20060928	JP 2006-504347	20040402
NO 2005004636	A	20051227	NO 2005-4636	20051010
IN 2005CN02890	A	20070406	IN 2005-CN2890	20051103
US 20070270584	A1	20071122	US 2007-552118	20070413

PRIORITY APPLN. INFO.:
EP 2003-7756 A 20030404
DK 2004-449 A 20040319
WO 2004-DK242 W 20040402

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 141:332364; MARPAT 141:332364
AB Steroidal carboxthioc acids were prepared by reacting steroidal carboxylic acids or salts with a coupling agent alone or in conjunction with a coupling enhancer followed by reaction with a nucleophilic agent comprising a sulfur atom. Thus, 6α,9α-difluoro-11β-hydroxy-16α-methyl-3-oxo-17α-propionyloxyandrosta-1,,4-diene-17β-carboxylic acid, prepared from flumetasone, in DMA was treated with EDC (1-ethyl-3-(3-dimethylaminopropyl)carbodiimide) and NHS (N-hydroxysuccinimide) followed by sodium hydrosulfide hydrate and then bromofluoromethane to give 92% S-fluoromethyl 6α,9α-difluoro-11β-hydroxy-16α-methyl-3-oxo-

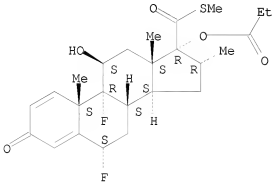
17α-propionyloxyandrosta-1,4-diene-17β-carbothioate (fluticasone propionate).

IT 73205-13-7P 80474-14-2P, Fluticasone propionate 80474-45-9P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for preparation of steroidal carbothioic acid derivs. and intermediates)

RN 73205-13-7 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-methyl ester, (6α,11β,16α,17α)- (CA INDEX NAME)

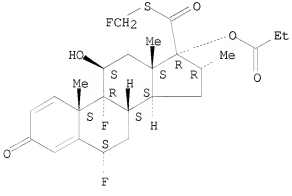
Absolute stereochemistry.



RN 80474-14-2 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-(fluoromethyl) ester, (6α,11β,16α,17α)- (CA INDEX NAME)

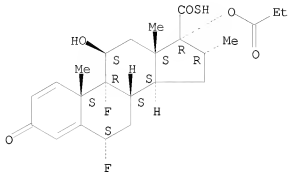
Absolute stereochemistry.



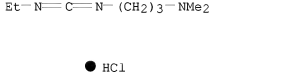
RN 80474-45-9 CAPLUS

CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 25952-53-8, Edc
RL: RGT (Reagent); RACT (Reactant or reagent)
(process for preparation of steroidal carbothioic acid derivs. and intermediates)
RN 25952-53-8 CAPLUS
CN 1,3-Propanediamine, N3-(ethylcarbonimidoyl)-N1,N1-dimethyl-, hydrochloride (1:1) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:837305 CAPLUS
DOCUMENT NUMBER: 141:332363
TITLE: Process for the preparation of steroidal 17β-carbothioates
INVENTOR(S): Loevli, Trond; Nygard, Anne Mette; Reitstoen, Bjoern; Fivelstad, Magny
PATENT ASSIGNEE(S): Alpharma Aps, Den.
SOURCE: Eur. Pat. Appl., 18 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1466920	A1	20041013	EP 2003-7756	20030404
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
AU 2004226318	A1	20041014	AU 2004-226318	20040402
AU 2004226318	B2	20080605		
CA 2530680	A1	20041014	CA 2004-2530680	20040402
WO 2004087731	A1	20041014	WO 2004-DK242	20040402
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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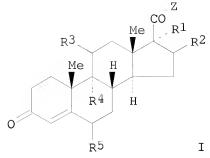
EP 1611149	A1	20060104	EP 2004-725301	20040402
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1798757	A	20060705	CN 2004-80015412	20040402
JP 2006522028	T	20060928	JP 2006-504347	20040402
NO 2005004636	A	20051227	NO 2005-4636	20051010
IN 2005CN02890	A	20070406	IN 2005-CN2890	20051103
US 20070270584	A1	20071122	US 2007-552118	20070413

PRIORITY APPLN. INFO.:
EP 2003-7756 A 20030404
DK 2004-449 A 20040319
WO 2004-DK242 W 20040402

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 141:332363
GI



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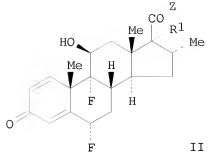


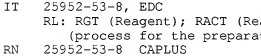
II

AB A novel method was disclosed for the conversion of steroidal 17β-carboxylic acids I (Z = OH) to the corresponding carbothioates I [R1 = H, OH, acyloxy; R2 = H, α-OH, α-, β-alkyl; R1R2 = fused 1,3-dioxolane ring of the form -OCR7R8O-; R3 = OH, protected hydroxyl; R4 = H, halogen; R3R4 = bond, -O- (epoxide); R5 = H, halogen; R7, R8 = H, alkyl; Z = SCH2F, SCH2Br, S(CH2)2F] including fluticasone propionate II (R1 = COCH2Me, Z = SCH2F), via novel in situ generated 17β-carboxy imidazolyl- or succinimidyl esters. Thus, flumetasone II (R1 = OH, Z = CH2OH) was oxidized using periodic acid to form the corresponding acid II (R1 = Z = OH) in 98% yield. The the acid was esterified with MeCH2COCl using NEt3 to give 17α-propionate II (R1 = OCOCH2Me, Z = OH) in 99% yield, and subsequent treatment of the 17α-propionate with NHS and FCH2Br gave fluticasone propionate in 75% yield.

IT 25952-53-8, EDC
RL: RGT (Reagent); RACT (Reactant or reagent)
(process for the preparation of steroidal 17-carbothioates)

RN 25952-53-8 CAPLUS

CN 1,3-Propanediamine, N3-(ethylcarbonimidoyl)-N1,N1-dimethyl-, hydrochloride (1:1) (CA INDEX NAME)

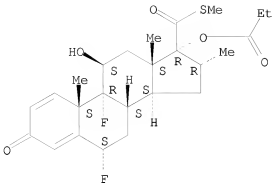


IT 73205-13-7P 80474-14-2P, Fluticasone propionate
80474-45-9P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for the preparation of steroidal 17β-carbothioates)

RN 73205-13-7 CAPLUS

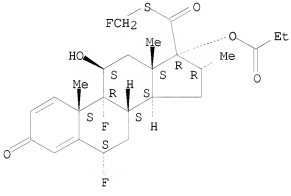
CN Androsta-1,4-diene-17-carbothioic acid, 6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-, S-methyl ester, (6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry.



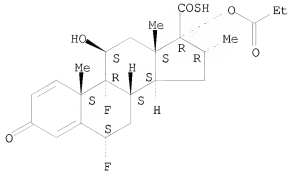
RN 80474-14-2 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid,
6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-,
S-(fluoromethyl) ester, (6α,11β,16α,17α)- (CA
INDEX NAME)

Absolute stereochemistry.



RN 80474-45-9 CAPLUS
CN Androsta-1,4-diene-17-carbothioic acid,
6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)-,
(6α,11β,16α,17α)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT